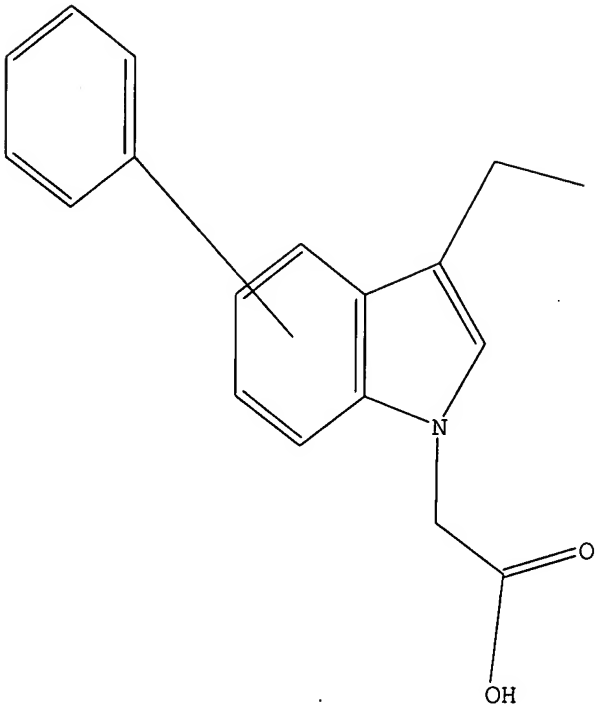
L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4 full
FULL SEARCH INITIATED 12:08:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2609 TO ITERATE

100.0% PROCESSED 2609 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

L5 12 SEA SSS FUL L4

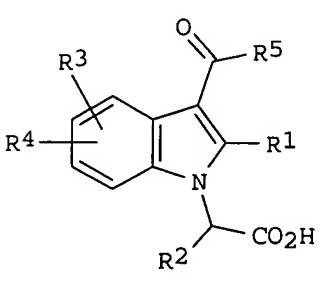
L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:515482 CAPLUS
DOCUMENT NUMBER: 141:71443
TITLE: Preparation of (3-carbonyl-1H-indol-1-yl)acetic acid derivatives as inhibitors of plasminogen activator inhibitor-1 (PAI-1)
INVENTOR(S): Jennings, Lee Dalton
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052855	A2	20040624	WO 2003-US39126	20031209
WO 2004052855	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509238	AA	20040624	CA 2003-2509238	20031209
US 2004122070	A1	20040624	US 2003-731723	20031209
US 7078429	B2	20060718		
AU 2003297787	A1	20040630	AU 2003-297787	20031209
EP 1569900	A2	20050907	EP 2003-796856	20031209
EP 1569900	B1	20060628		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016574	A	20051004	BR 2003-16574	20031209
CN 1726190	A	20060125	CN 2003-80105735	20031209
JP 2006514637	T2	20060511	JP 2004-558615	20031209
AT 331709	E	20060715	AT 2003-796856	20031209
US 2006178412	A1	20060810	US 2006-375954	20060315

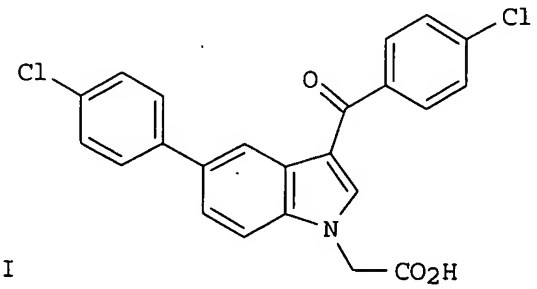
PRIORITY APPLN. INFO.:

US 2002-432107P	P	20021210
US 2003-731723	A3	20031209
WO 2003-US39126	W	20031209

OTHER SOURCE(S): MARPAT 141:71443
GI



I

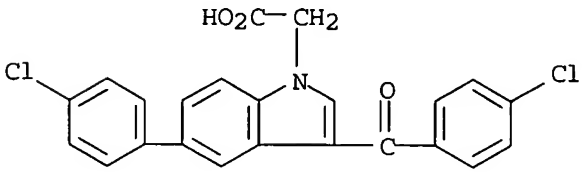


II

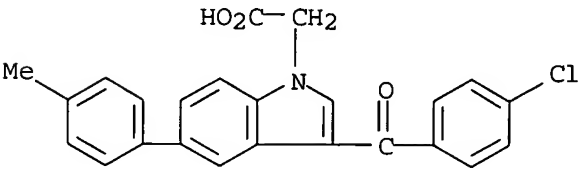
AB The title compds. [I; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; R5 = alkyl, cycloalkyl, CH2(cycloalkyl), etc.] which are useful as inhibitors of plasminogen activator inhibitor-1 (PAI-1) for treating conditions resulting from fibrinolytic disorders, such as deep vein thrombosis and coronary heart disease, and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of II, starting from 5-bromoindole and 4-chlorophenylboronic acid, which showed 47% human PAI-1 inhibition at 25 μM, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 710957-06-5P 710957-10-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (3-carbonyl-1H-indol-1-yl)acetic acid derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

RN 710957-06-5 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-5-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 710957-10-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2004:493570 CAPLUS
DOCUMENT NUMBER:	141:54193
TITLE:	Preparation of substituted 3-alkyl and 3-arylalkyl 1H-indol-1-yl acetic acid derivatives as inhibitors of plasminogen activator inhibitor-1 (PAI-1)
INVENTOR(S):	Jennings, Lee Dalton; Kincaid, Scott Lee
PATENT ASSIGNEE(S):	Wyeth, John, and Brother Ltd., USA
SOURCE:	U.S. Pat. Appl. Publ., 17 pp. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

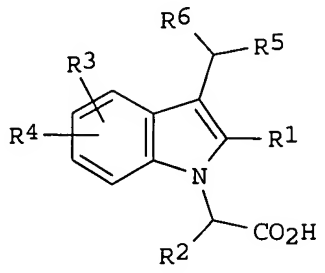
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116488	A1	20040617	US 2003-730951	20031209
CA 2509170	AA	20040624	CA 2003-2509170	20031209
WO 2004052853	A2	20040624	WO 2003-US38930	20031209

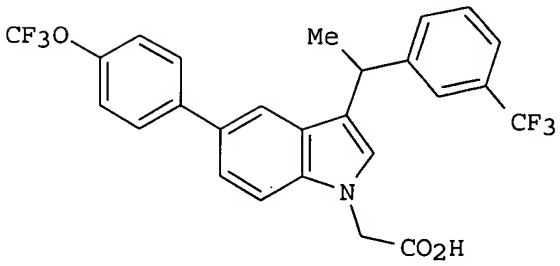
WO 2004052853	A3	20040916			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003297727	A1	20040630	AU 2003-297727		20031209
EP 1569899	A2	20050907	EP 2003-796792		20031209
EP 1569899	B1	20060628			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003016583	A	20051004	BR 2003-16583		20031209
CN 1723197	A	20060118	CN 2003-80105448		20031209
JP 2006514640	T2	20060511	JP 2004-559409		20031209
AT 331708	E	20060715	AT 2003-796792		20031209
PRIORITY APPLN. INFO.:			US 2002-432330P	P	20021210
			WO 2003-US38930	W	20031209

OTHER SOURCE(S): MARPAT 141:54193

GI



I

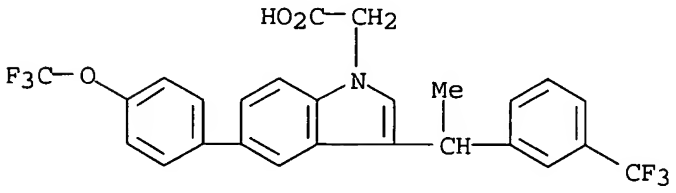


II

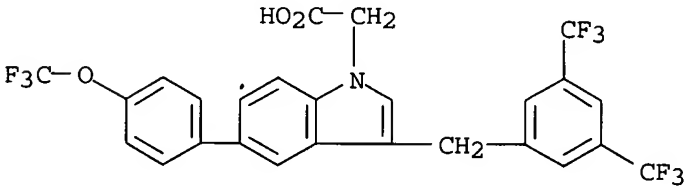
AB The title compds. [I; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = alkyl, cycloalkyl, thienyl, etc.; R5 = alkyl, cycloalkyl, pyridinyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; or R5 and R6 taken together may be cycloalkyl, indanyl, tetrahydronaphthalen-1-yl, etc.] which are inhibitors of plasminogen activator inhibitor (PAI-1) useful for treating fibrinolytic disorders, were prepared E.g., a 3-step synthesis of II, starting from 5-bromoindole and 4-trifluoromethoxybenzeneboronic acid, which showed 48% inhibition of PAI-1 at 25 μM, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 708257-72-1P 708257-73-2P 708257-74-3P
708257-75-4P 708257-77-6P 708257-80-1P
708257-82-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [3-alkyl and 3-arylalkyl-1H-indol-1-yl]acetic acid derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

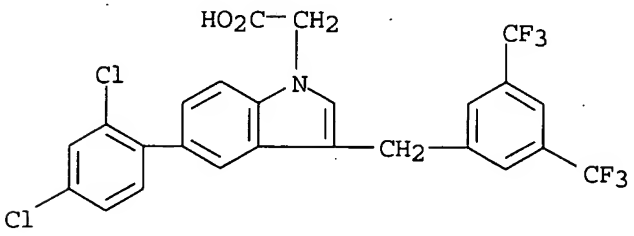
RN 708257-72-1 CAPLUS
CN 1H-Indole-1-acetic acid, 5-[4-(trifluoromethoxy)phenyl]-3-[1-[3-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



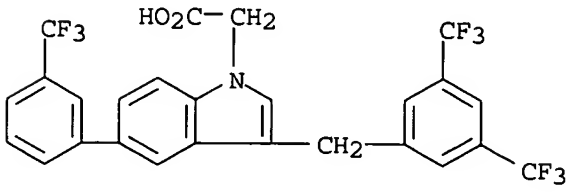
RN 708257-73-2 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



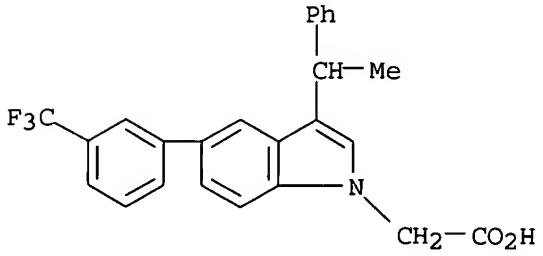
RN 708257-74-3 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



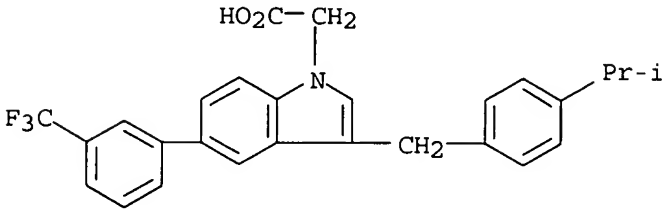
RN 708257-75-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



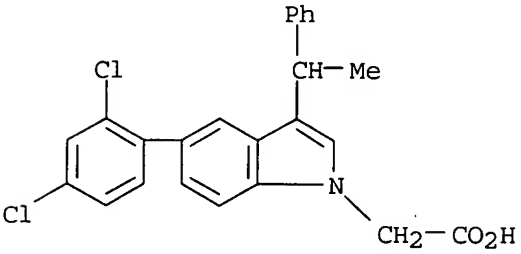
RN 708257-77-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(1-phenylethyl)-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708257-80-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[4-(1-methylethyl)phenyl]methyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708257-82-3 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(2,4-dichlorophenyl)-3-(1-phenylethyl)- (9CI) (CA INDEX NAME)



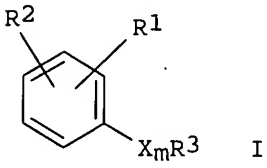
L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	1997:260094 CAPLUS
DOCUMENT NUMBER:	126:293361
TITLE:	Preparation of tetrazolylphenyl-substituted heterocycles and related compounds as angiotensin II antagonists
INVENTOR(S):	Boyd, Donald B.; Lifer, Sherryl L.; Marshall, Winston S.; Palkowitz, Alan D.; Pfeifer, William; Reel, Jon K.; Simon, Richard L.; Steinberg, Mitchell I.; Thrasher, K. Jeff; Vasudevan, Venkatraghavan; Whitesitt, Celia A.
PATENT ASSIGNEE(S):	Eli Lilly and Company, USA
SOURCE:	U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 892,854, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5612360	A	19970318	US 1993-49916	19930420
CA 2097460	AA	19931204	CA 1993-2097460	19930601
HU 64330	A2	19931228	HU 1993-1602	19930601
NO 9302004	A	19931206	NO 1993-2004	19930602
AU 9339986	A1	19931209	AU 1993-39986	19930602
AU 661396	B2	19950720		
EP 574174	A2	19931215	EP 1993-304266	19930602
EP 574174	A3	19940706		
EP 574174	B1	20030813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 247107	E	20030815	AT 1993-304266	19930602
PT 574174	T	20031231	PT 1993-304266	19930602
ES 2204898	T3	20040501	ES 1993-304266	19930602
JP 06080666	A2	19940322	JP 1993-133314	19930603
CN 1101908	A	19950426	CN 1993-108420	19930603
ES 2076085	B1	19970301	ES 1993-1321	19930615
ES 2076085	A1	19951016		
US 5556981	A	19960917	US 1995-453532	19950530
US 5693633	A	19971202	US 1995-453591	19950530
US 5569768	A	19961029	US 1995-455239	19950531
PRIORITY APPLN. INFO.:			US 1992-892854 B2	19920603
			US 1993-49916 A	19930420

OTHER SOURCE(S): MARPAT 126:293361
GI



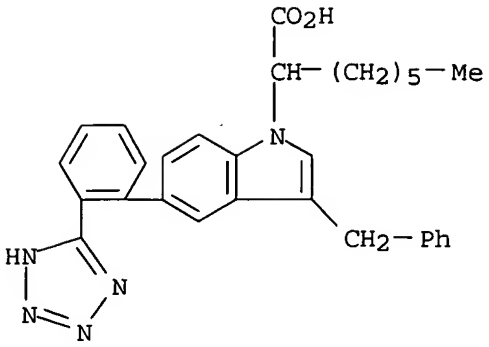
I

AB Preparation of heterocyclic derivs. I [R1 = CO2H, SO3H, PO3H2, CONHSO2R8 (R8 = (un)substituted Ph, alkyl, trifluoroalkyl), 5-tetrazolyl; R2 = H, OH, OAc, halo, alkyl, alkoxy; R3 = substituted heterocyclyl] and their use for antagonizing angiotensin II receptors in mammals are described. E.g., treating 5-(2-cyanophenyl)benzimidazole with NaH, followed by addition of Et 2-bromohexanoate gave an intermediate which was reacted with Bu3SnN3 to give 2-[5-[2-(2H-tetrazol-5-yl)phenyl]-1H-benzimidazol-1-yl]hexanoic acid. I are potent effective antagonists of angiotensin II.

IT 159748-12-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrazolylphenyl-substituted heterocycles and related compds. as angiotensin II antagonists)

RN 159748-12-6 CAPLUS

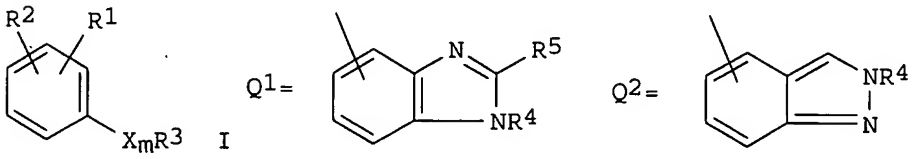
CN 1H-Indole-1-acetic acid, α-hexyl-3-(phenylmethyl)-5-[2-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:252332 CAPLUS
DOCUMENT NUMBER: 122:290852
TITLE: Preparation of arylindoles, -benzimidazoles, and -indazoles as angiotensin II antagonists
INVENTOR(S): Boyd, Donald Bradford; Lifer, Sherryl Lynn; Marshall, Winston Stanley; Palkowitz, Alan David; Pfeifer, William; Reel, Jon Kevin; Simon, Richard Lee; Steinberg, Mitchell Irvin; Thrasher, Kenneth Jeff; et al.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 574174	A2	19931215	EP 1993-304266	19930602
EP 574174	A3	19940706		
EP 574174	B1	20030813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5612360	A	19970318	US 1993-49916	19930420

PRIORITY APPLN. INFO.: US 1992-892854 A 19920603
US 1993-49916 A 19930420
OTHER SOURCE(S): MARPAT 122:290852
GI



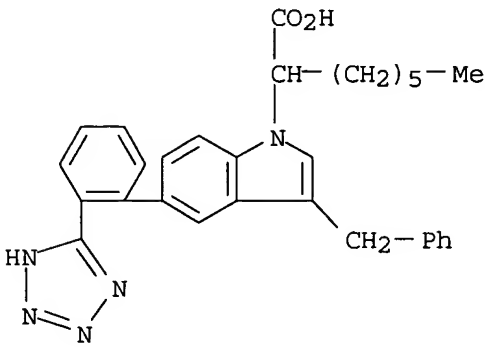
AB [Title compds. I; R1 = CO2H, SO3H, CONHSO2R8, 5-tetrazolyl; R2 = H, OH, OCOMe, halo, alkyl, alkoxy; R3 = Q1, Q2, etc.; X = (CH2)mCONH, (CH2)mNHCO, CH2, O, NH, (CH2)mCO; m = 0,1; R4 = CHR6R7, alkyl, trifluoroalkyl; R5 = H, alkyl, trifluoroalkyl, perfluoroalkyl, PhCH2, dialkylaminoalkyl, etc.; R6 = alkylaminocarbonyl, alkoxycarbonyl, hydroxyalkylaminocarbonyl, substituted imidazolyl, tetrazolyl, etc.; R7 = alkyl, trifluoroalkyl, alkenyl, trifluoroalkenyl], were prepared Thus, L-proline benzyl ester hydrochloride, diisopropylethyamine, hydroxybenzotriazole,

2-[5-[2-(2H-tetrazol-5-yl)phenyl]benzimidazol-1-yl]octanoic acid (preparation given), and DCC were stirred in DMF 12 days to give coupling product which was stirred in MeOH/2N NaOH to give 1-[1-oxo-2-[5-[2-(2H-tetrazol-5-yl)phenyl]-1H-benzimidazol-1-yl]octyl]-L-proline. I inhibited angiotensin II-induced contraction of rabbit aortal rings with pA2 = 5.3-9.1. Several I drug formulations are given.

IT 159748-12-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)

RN 159748-12-6 CAPLUS

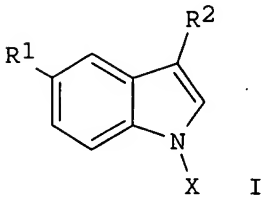
CN 1H-Indole-1-acetic acid, α-hexyl-3-(phenylmethyl)-5-[2-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:31913 CAPLUS
DOCUMENT NUMBER: 136:96024
TITLE: Novel anti-infectives
INVENTOR(S): Hardwicke, Mary Ann
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 437,683, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002004198	A1	20020110	US 2001-793231		20010226
US 2001007877	A1	20010712	US 1999-437683		19991110
PRIORITY APPLN. INFO.:			US 1998-112424P	P	19981216
			US 1998-112463P	P	19981216
			US 1998-112482P	P	19981216
			US 1998-112493P	P	19981216
			US 1998-112500P	P	19981216
			US 1999-140043P	P	19990618
			US 1999-437683	B2	19991110
			US 1998-112494P	P	19981216

OTHER SOURCE(S): MARPAT 136:96024
GI

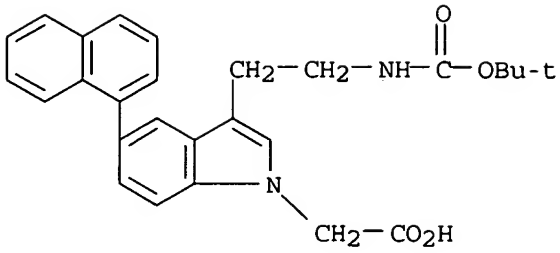


I

AB Novel anti-infectives and methods of using them are provided. Substituted indoles [I; R1 = aryl; R2 = alkyleneNHR (wherein R = H, C(NH)NH2); X = SO2R (R = alkyl, aryl)] which are useful in inhibiting a virus such as a herpesvirus, a betaherpesvirus, and a cytomegalovirus, were prepared and formulated. Also disclosed is a method to identify a compound that inhibits the interaction of a herpesvirus major capsid protein and a herpesvirus scaffolding protein or protease.

IT 339282-80-3P, 1H-Indole-1-acetic acid, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-5-(1-naphthalenyl)-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indoles antiviral agents and methods to identify compds. that inhibit interaction of herpesvirus major capsid protein and scaffolding protein or protease)

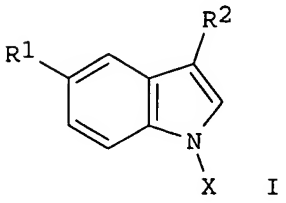
RN 339282-80-3 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:508069 CAPLUS
DOCUMENT NUMBER: 135:92543
TITLE: Preparation of substituted indoles as novel anti-infectives
INVENTOR(S): Burton, George O.; Keenan, Richard M.; Knight, Steven D.; Ridgers, Lance H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2001007877	A1	20010712	US 1999-437683		19991110
US 2002004198	A1	20020110	US 2001-793231		20010226
PRIORITY APPLN. INFO.:			US 1998-112424P	P	19981216
			US 1998-112463P	P	19981216
			US 1998-112482P	P	19981216
			US 1998-112494P	P	19981216
			US 1998-112500P	P	19981216
			US 1999-140043P	P	19990618
			US 1998-112493P	P	19981216
			US 1999-437683	B2	19991110

OTHER SOURCE(S): MARPAT 135:92543
GI

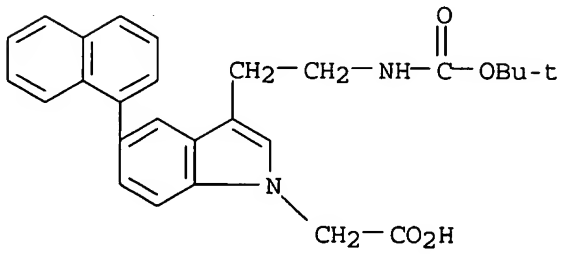


AB The title compds. [I; R1 = aryl; R2 = alkyleneNHR (wherein R = H, C(NH)NH2); X = SO2R (R = alkyl, aryl)] which are useful in inhibiting a virus such as a herpesvirus, a betaherpesvirus, and a cytomegalovirus, were prepared and formulated. E.g., a 3-step synthesis of I.HCl [R1 = 2-naphthyl; R2 = 2-aminoethyl; X = phenylsulfonyl] was given. The exemplified compds. I were tested in ELISA assay for detection of inhibitors of the interaction between the CMV MCP full-length protein and the interaction domain peptide of the scaffolding protein. They showed IC50 of 1-10 μM in this assay.
IT 339282-80-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indoles as novel anti-infectives)

RN 339282-80-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:359795 CAPLUS

DOCUMENT NUMBER: 134:353253

TITLE: Preparation of substituted indoles as novel anti-infectives

INVENTOR(S): Burton, George; Knight, Steven David; Ridgers, Lance Howard; Keenan, Richard Mcculloch

PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

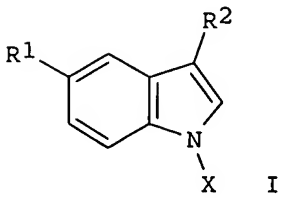
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034146	A1	20010517	WO 2000-US30705	20001108
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				

PRIORITY APPLN. INFO.:

US 1999-163962P	P	19991108
US 1999-163963P	P	19991108
US 1999-164243P	P	19991108
US 1999-164301P	P	19991108
US 1999-164302P	P	19991108
US 1999-164303P	P	19991108

OTHER SOURCE(S): MARPAT 134:353253

GI



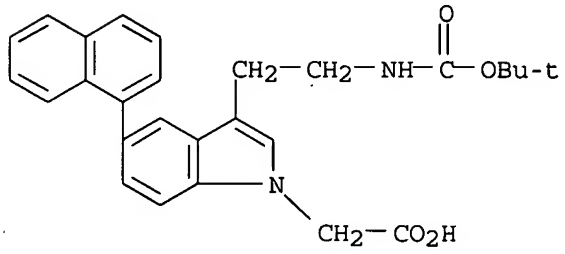
AB The title compds. [I; R1 = aryl; R2 = alkyleneNHR (wherein R = H, C(NH)NH2); X = SO2R (R = alkyl, aryl)] which are useful in inhibiting a

virus such as a herpesvirus, a betaherpesvirus, and a cytomegalovirus, were prepared and formulated. E.g., a 3-step synthesis of I.HCl [R1 = 2-naphthyl; R2 = 2-aminoethyl; X = phenylsulfonyl] was given. The exemplified compds. I were tested in ELISA assay for detection of inhibitors of the interaction between the CMV MCP full-length protein and the interaction domain peptide of the scaffolding protein. They showed IC50 of 1-10 μM in this assay.

IT 339282-80-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indoles as novel anti-infectives)

RN 339282-80-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



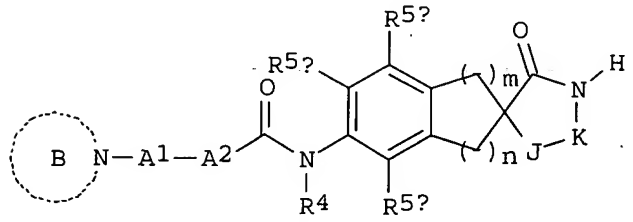
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:269508 CAPLUS
DOCUMENT NUMBER: 144:331420
TITLE: Preparation of bicyclic anilide spirolactam cgrp receptor antagonists
INVENTOR(S): Bell, Ian M.; Theberge, Cory R.; Stump, Craig A.; Zhang, Xufang; Gallicchio, Steven N.; Zartman, C. Blair
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

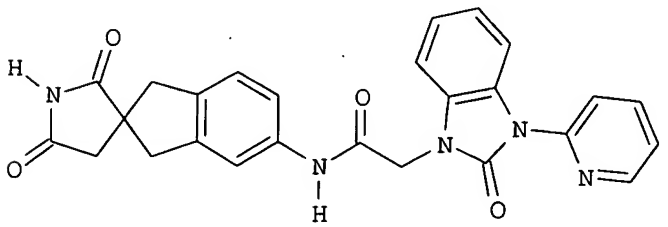
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006031610	A2	20060323	WO 2005-US32041	20050909

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-609292P P 20040913
OTHER SOURCE(S): MARPAT 144:331420
GI



I



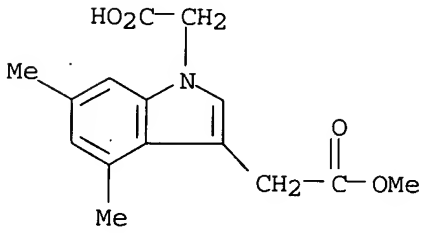
II

AB Title compds. I [A1 and A2 independently = bond or CR13R14, where one of A1 and A2 is optionally absent; B = (un)substituted bicycloheterocycle; J = =C(R6a)-; CR13R14, and CO; K = =C(R6b), CR13R14, CO, etc.; R4 = H,

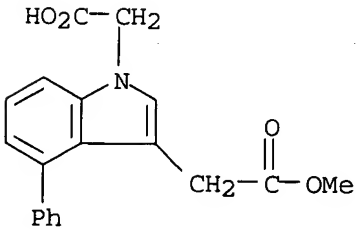
(un)substituted alkyl, benzyl, etc.; R5a, R5b, and R5c = H, alkyl, alkoxy, halo, etc.; R6a and R6b independently = H, OH, halo, (un)substituted alkyl, etc.; R13 and R14 = H or (un)substituted alkyl; m = 1 or 2; n = 1 or 2], and their pharmaceutically acceptable salts, useful as antagonists of calcitonin gene-related peptide (CGRP) receptors and useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache. Thus, e.g., II was prepared by reaction of 5-amino-1,3-dihydro-2'H,5'H-spiro[indene-2,3'-pyrrolidine]-2',5'-dione (preparation given) with 5-amino-1,3-dihydrospiro[indene-2,3'-pyrrolo[2,3-b]pyridin]-2'(1'H)-one (preparation given). I demonstrated activity as antagonists of the CGRP receptor with Ki or IC50 values generally less than about 50 μM. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved.

IT 880079-41-4P 880079-52-7P 880079-53-8P
880079-55-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic anilide spirolactam cgrp receptor antagonists)

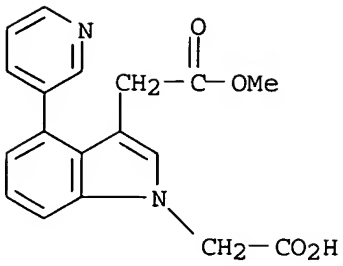
RN 880079-41-4 CAPLUS
CN 1H-Indole-1,3-diacetic acid, 4,6-dimethyl-, α3-methyl ester (9CI) (CA INDEX NAME)



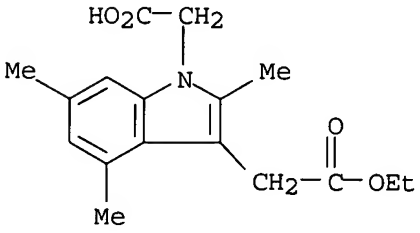
RN 880079-52-7 CAPLUS
CN 1H-Indole-1,3-diacetic acid, 4-phenyl-, α3-methyl ester (9CI) (CA INDEX NAME)



RN 880079-53-8 CAPLUS
CN 1H-Indole-1,3-diacetic acid, 4-(3-pyridinyl)-, α3-methyl ester (9CI) (CA INDEX NAME)



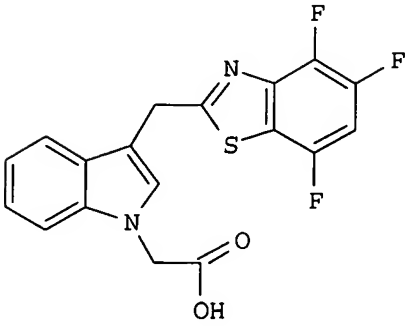
RN 880079-55-0 CAPLUS
CN 1H-Indole-1,3-diacetic acid, 2,4,6-trimethyl-, α3-ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2005:271946 CAPLUS
DOCUMENT NUMBER:	143:312
TITLE:	Discovery of 3-[(4,5,7-Trifluorobenzothiazol-2-yl)methyl]indole-N-acetic Acid (Lidorestat) and Congeners as Highly Potent and Selective Inhibitors of Aldose Reductase for Treatment of Chronic Diabetic Complications
AUTHOR(S):	Van Zandt, Michael C.; Jones, Michael L.; Gunn, David E.; Geraci, Leo S.; Jones, J. Howard; Sawicki, Diane R.; Sredy, Janet; Jacot, Jorge L.; DiCioccio, A. Thomas; Petrova, Tatiana; Mitschler, Andre; Podjarny, Alberto D.
CORPORATE SOURCE:	The Institute for Diabetes Discovery, LLC, Branford, CT, 06405, USA
SOURCE:	Journal of Medicinal Chemistry (2005), 48(9), 3141-3152 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 143:312

GI



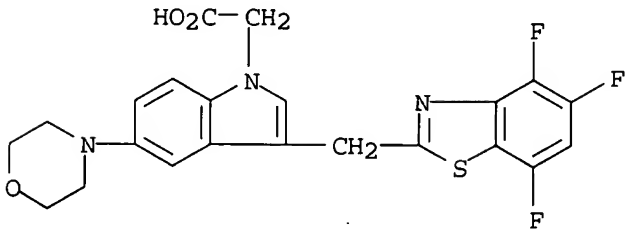
I

AB Recent efforts to identify treatments for chronic diabetic complications have resulted in the discovery of a novel series of highly potent and selective 3-[(benzothiazol-2-yl)methyl]indole-N-alkanoic acid aldose reductase inhibitors. The lead candidate, 3-[(4,5,7-trifluorobenzothiazol-2-yl)methyl]indole-N-acetic acid (lidorestat, I) inhibits aldose reductase with an IC50 of 5 nM, while being 5400 times less active against aldehyde reductase, a related enzyme involved in the detoxification of reactive aldehydes. It lowers nerve and lens sorbitol levels with ED50's of 1.9 and 4.5 mg/kg/d po, resp., in the 5-day STZ-induced diabetic rat model. In a 3-mo diabetic intervention model (1 mo of diabetes followed by 2 mo of drug treatment at 5 mg/kg/d po), it normalizes polyols and reduces the motor nerve conduction velocity deficit by 59% relative to diabetic controls. It has a favorable pharmacokinetic profile (F, 82%; t1/2, 5.6 h; Vd, 0.694 L/kg) with good drug penetration in target tissues (Cmax in sciatic nerve and eye are 2.36 and 1.45 μg equiv/g, resp., when dosed with [14C]lidorestat at 10 mg/kg po).

IT 245117-07-1P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indole-N-acetic acid derivs. preparation as aldose reductase inhibitors for diabetic complications treatment)

RN 245117-07-1 CAPLUS

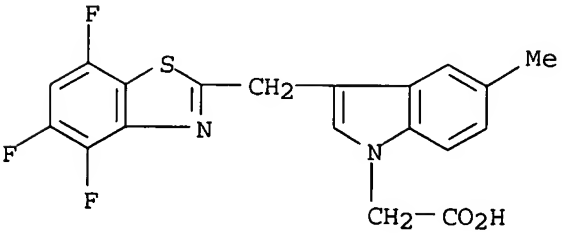
CN 1H-Indole-1-acetic acid, 5-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



IT 245116-93-2 245116-94-3 245116-99-8
245117-01-5 245117-05-9 245117-06-0
245117-08-2
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(indole-N-acetic acid derivs. preparation as aldose reductase inhibitors for diabetic complications treatment)

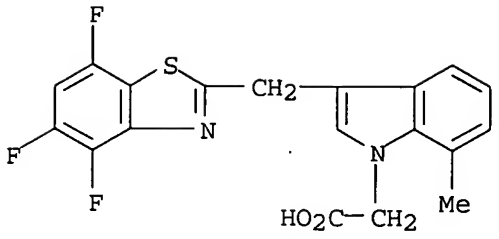
RN 245116-93-2 CAPLUS

CN 1H-Indole-1-acetic acid, 5-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)

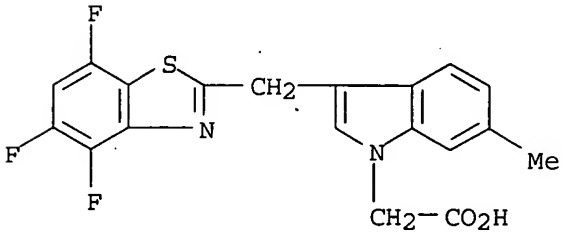


RN 245116-94-3 CAPLUS

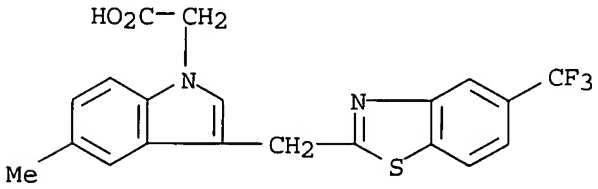
CN 1H-Indole-1-acetic acid, 7-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



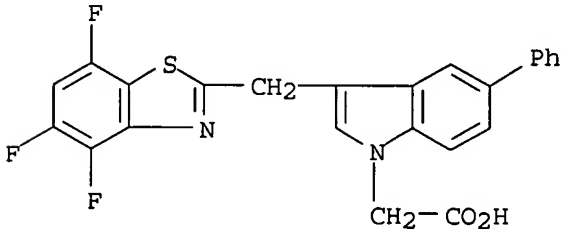
RN 245116-99-8 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



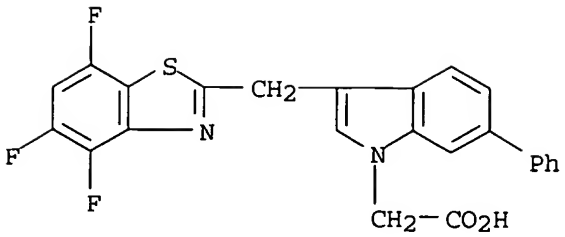
RN 245117-01-5 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methyl-3-[[5-(trifluoromethyl)-2-benzothiazolyl]methyl]- (9CI) (CA INDEX NAME)



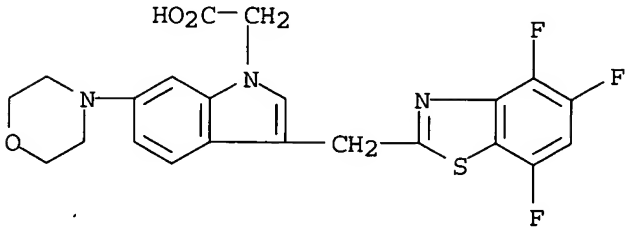
RN 245117-05-9 CAPLUS
CN 1H-Indole-1-acetic acid, 5-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-06-0 CAPLUS
CN 1H-Indole-1-acetic acid, 6-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-08-2 CAPLUS
CN 1H-Indole-1-acetic acid, 6-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:515482 CAPLUS
DOCUMENT NUMBER: 141:71443
TITLE: Preparation of (3-carbonyl-1H-indol-1-yl)acetic acid derivatives as inhibitors of plasminogen activator inhibitor-1 (PAI-1)
INVENTOR(S): Jennings, Lee Dalton
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

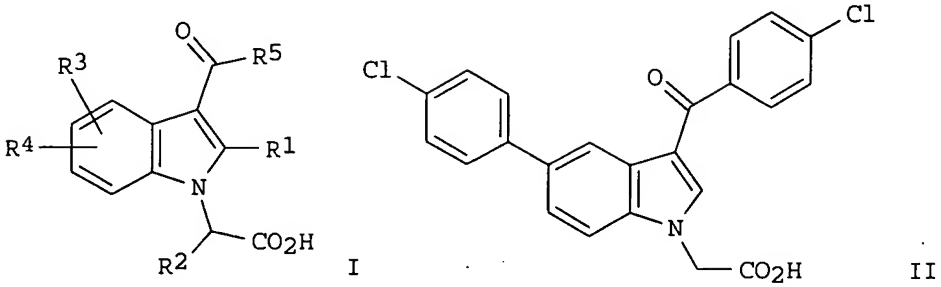
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052855	A2	20040624	WO 2003-US39126	20031209
WO 2004052855	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509238	AA	20040624	CA 2003-2509238	20031209
US 2004122070	A1	20040624	US 2003-731723	20031209
US 7078429	B2	20060718		
AU 2003297787	A1	20040630	AU 2003-297787	20031209
EP 1569900	A2	20050907	EP 2003-796856	20031209
EP 1569900	B1	20060628		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003016574	A	20051004	BR 2003-16574		20031209
CN 1726190	A	20060125	CN 2003-80105735		20031209
JP 2006514637	T2	20060511	JP 2004-558615		20031209
AT 331709	E	20060715	AT 2003-796856		20031209
US 2006178412	A1	20060810	US 2006-375954		20060315
PRIORITY APPLN. INFO.:			US 2002-432107P	P	20021210
			US 2003-731723	A3	20031209
			WO 2003-US39126	W	20031209

OTHER SOURCE(S): MARPAT 141:71443

GI

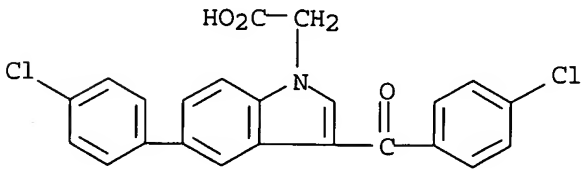


AB The title compds. [I; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; R5 = alkyl, cycloalkyl, CH2(cycloalkyl), etc.] which are useful as inhibitors of plasminogen activator inhibitor-1 (PAI-1) for treating conditions resulting from fibrinolytic disorders, such as deep vein thrombosis and coronary heart disease, and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of II, starting from 5-bromoindole and 4-chlorophenylboronic acid, which showed 47% human PAI-1 inhibition at 25 μM, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 710957-06-5P 710957-08-7P 710957-10-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (3-carbonyl-1H-indol-1-yl)acetic acid derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

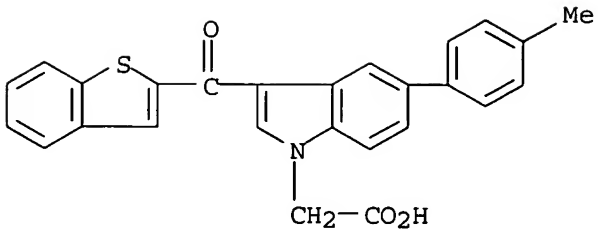
RN 710957-06-5 CAPLUS

CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-5-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

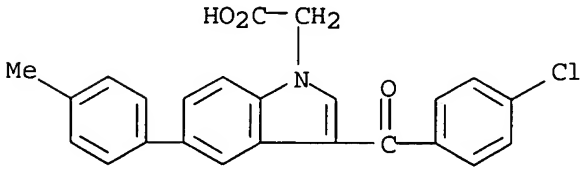


RN 710957-08-7 CAPLUS

CN 1H-Indole-1-acetic acid, 3-(benzo[b]thien-2-ylcarbonyl)-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 710957-10-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



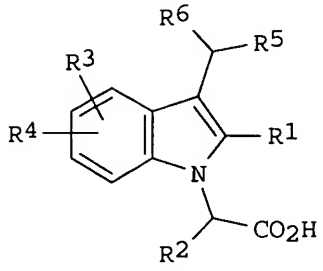
L3 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:493570 CAPLUS
DOCUMENT NUMBER: 141:54193
TITLE: Preparation of substituted 3-alkyl and 3-arylalkyl 1H-indol-1-yl acetic acid derivatives as inhibitors of plasminogen activator inhibitor-1 (PAI-1)
INVENTOR(S): Jennings, Lee Dalton; Kincaid, Scott Lee
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 17 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116488	A1	20040617	US 2003-730951	20031209
CA 2509170	AA	20040624	CA 2003-2509170	20031209
WO 2004052853	A2	20040624	WO 2003-US38930	20031209
WO 2004052853	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003297727	A1	20040630	AU 2003-297727	20031209
EP 1569899	A2	20050907	EP 2003-796792	20031209
EP 1569899	B1	20060628		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016583	A	20051004	BR 2003-16583	20031209
CN 1723197	A	20060118	CN 2003-80105448	20031209
JP 2006514640	T2	20060511	JP 2004-559409	20031209

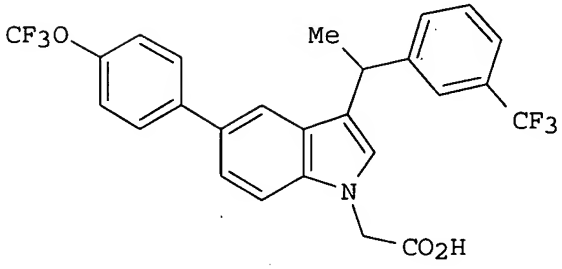
Same

AT 331708	E	20060715	AT 2003-796792		20031209
PRIORITY APPLN. INFO.:			US 2002-432330P	P	20021210
			WO 2003-US38930	W	20031209

OTHER SOURCE(S): MARPAT 141:54193
GI



I



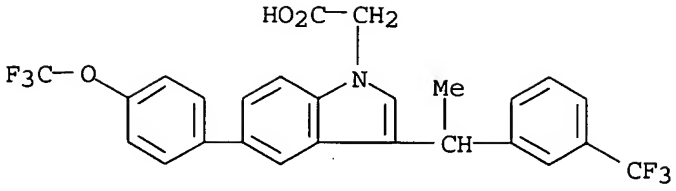
II

AB The title compds. [I; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = alkyl, cycloalkyl, thienyl, etc.; R5 = alkyl, cycloalkyl, pyridinyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; or R5 and R6 taken together may be cycloalkyl, indanyl, tetrahydronaphthalen-1-yl, etc.] which are inhibitors of plasminogen activator inhibitor (PAI-1) useful for treating fibrinolytic disorders, were prepared E.g., a 3-step synthesis of II, starting from 5-bromoindole and 4-trifluoromethoxybenzeneboronic acid, which showed 48% inhibition of PAI-1 at 25 μM, was given. The pharmaceutical composition comprising the compound I is claimed.

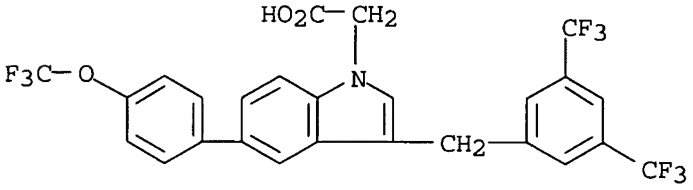
IT 708257-72-1P 708257-73-2P 708257-74-3P
708257-75-4P 708257-76-5P 708257-77-6P
708257-78-7P 708257-79-8P 708257-80-1P
708257-81-2P 708257-82-3P 708257-83-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [3-alkyl and 3-arylalkyl-1H-indol-1-yl]acetic acid derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

RN 708257-72-1 CAPLUS

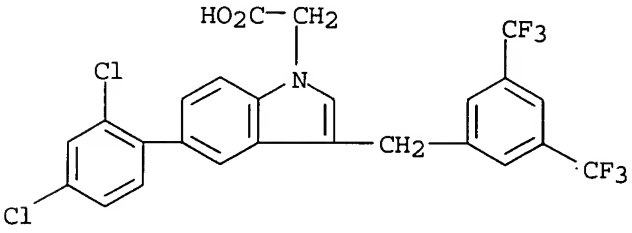
CN 1H-Indole-1-acetic acid, 5-[4-(trifluoromethoxy)phenyl]-3-[1-[3-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



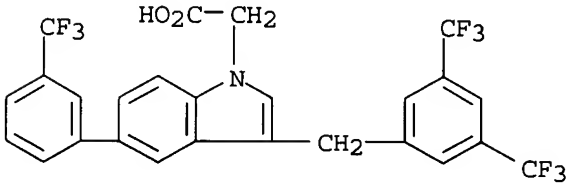
RN 708257-73-2 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



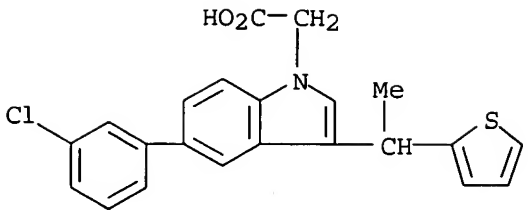
RN 708257-74-3 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



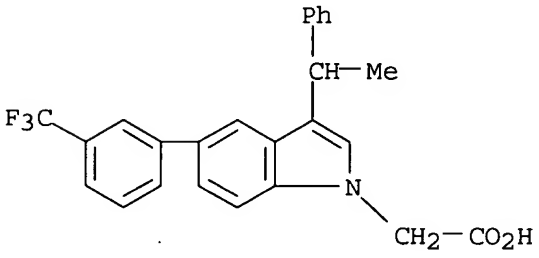
RN 708257-75-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



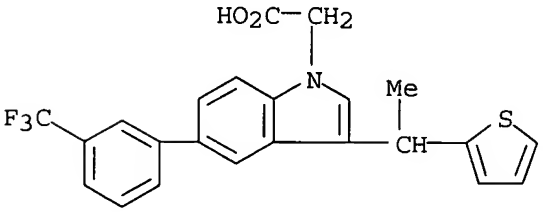
RN 708257-76-5 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(3-chlorophenyl)-3-[1-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



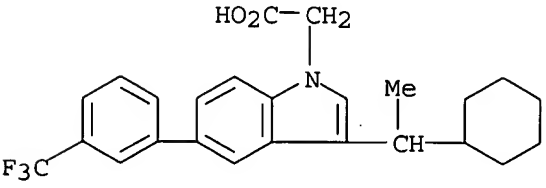
RN 708257-77-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(1-phenylethyl)-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



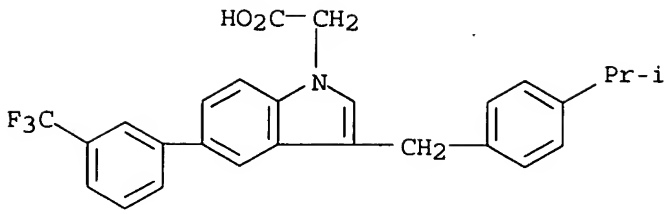
RN 708257-78-7 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[1-(2-thienyl)ethyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



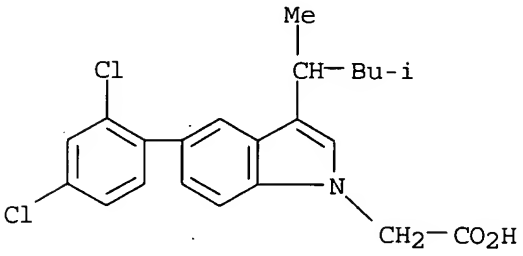
RN 708257-79-8 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(1-cyclohexylethyl)-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



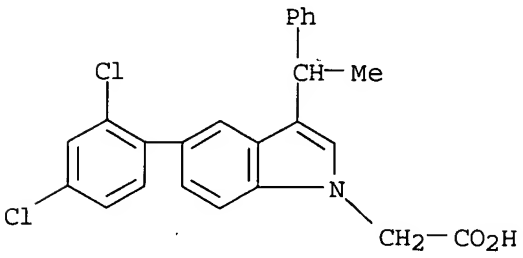
RN 708257-80-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[4-(1-methylethyl)phenyl]methyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



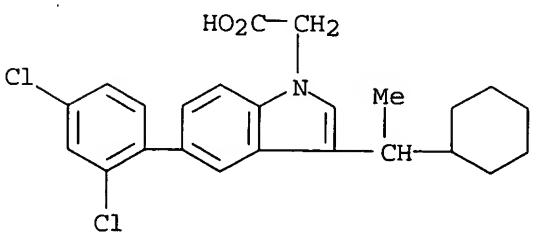
RN 708257-81-2 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(2,4-dichlorophenyl)-3-(1,3-dimethylbutyl)-(9CI) (CA INDEX NAME)



RN 708257-82-3 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(2,4-dichlorophenyl)-3-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 708257-83-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(1-cyclohexylethyl)-5-(2,4-dichlorophenyl)-(9CI) (CA INDEX NAME)



L3 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:931327 CAPLUS
DOCUMENT NUMBER: 140:4959
TITLE: Preparation of indole derivatives as PGD2 receptor antagonists
INVENTOR(S): Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Mitsumori,

Susumu; Inagaki, Masanao

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

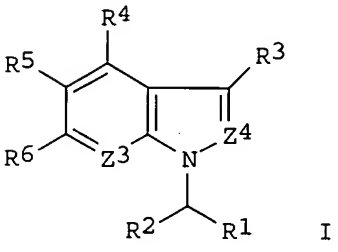
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

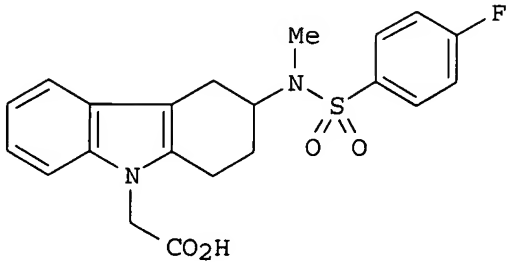
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097598	A1	20031127	WO 2003-JP6076	20030515
WO 2003097598	C1	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003231509	A1	20031202	AU 2003-231509	20030515
EP 1505061	A1	20050209	EP 2003-725791	20030515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005171143	A1	20050804	US 2003-514317	20030515
PRIORITY APPLN. INFO.:			JP 2002-142126 A	20020516
			WO 2003-JP6076 W	20030515

OTHER SOURCE(S): MARPAT 140:4959

GI



I



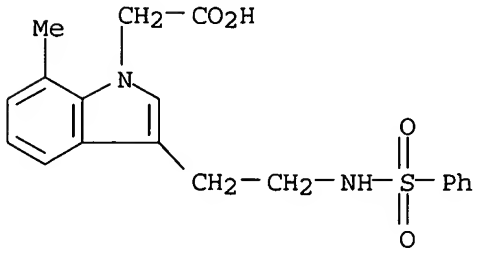
II

AB The title compds. I [wherein Z3 = N or CR7; R4-R7 = independently H, halo, haloalkyl, CO2H, alkoxycarbonyl, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or aralkyl; R1 = CO2H, alkoxycarbonyl, (un)substituted aminocarbonyl, or tetrazolyl; Z4 = N or CR8; R8 = H, alkyl, or halo; R2 = H or alkyl; R3 = -(CH2)n-N(Y)-SO2-Ar, etc.; n = 1-3; Y = H, alkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl, heteroarylalkyl, or arylalkenyl; Ar = (un)substituted aryl or heteroaryl] and prodrugs, pharmaceutically acceptable salts, or solvates thereof are prepared as CRTH2 receptor antagonists, and are useful for the treatment of allergic diseases (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.0036 μM against human CRTH2 receptor. Formulations containing I as an active ingredient were also described.

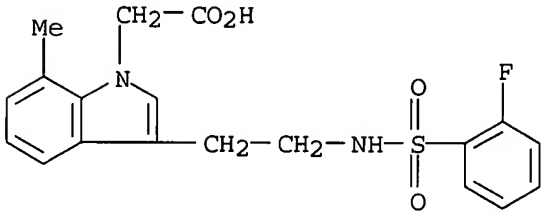
IT 627864-11-3P 627864-12-4P 627864-13-5P
627864-14-6P 627864-15-7P 627864-28-2P
627864-30-6P 627864-43-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indole derivs. as PGD2 receptor antagonists)

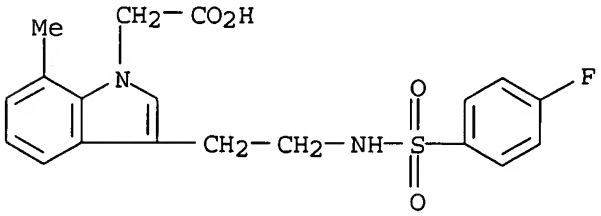
RN 627864-11-3 CAPLUS
CN 1H-Indole-1-acetic acid, 7-methyl-3-[2-[(phenylsulfonyl)amino]ethyl]- (9CI) (CA INDEX NAME)



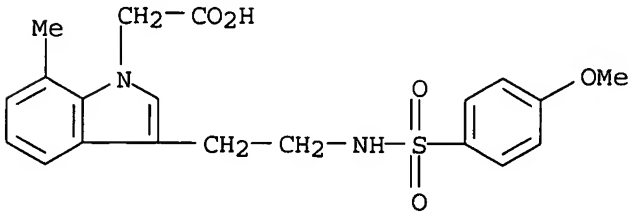
RN 627864-12-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[[(2-fluorophenyl)sulfonyl]amino]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



RN 627864-13-5 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[[(4-fluorophenyl)sulfonyl]amino]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

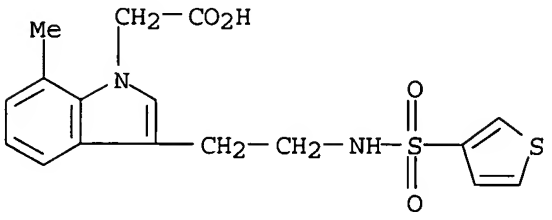


RN 627864-14-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[[(4-methoxyphenyl)sulfonyl]amino]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

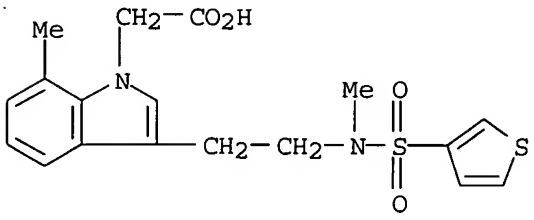


RN 627864-15-7 CAPLUS

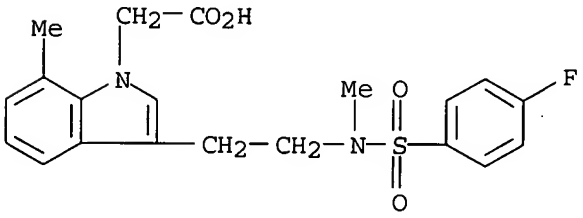
CN 1H-Indole-1-acetic acid, 7-methyl-3-[2-[(3-thienylsulfonyl)amino]ethyl]- (9CI) (CA INDEX NAME)



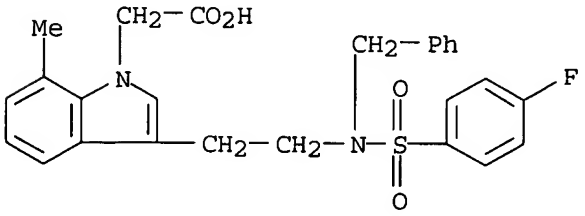
RN 627864-28-2 CAPLUS
CN 1H-Indole-1-acetic acid, 7-methyl-3-[2-[methyl(3-thienylsulfonyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 627864-30-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[[(4-fluorophenyl)sulfonyl]methylamino]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



RN 627864-43-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[2-[[(4-fluorophenyl)sulfonyl](phenylmethyl)amino]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



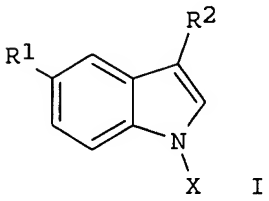
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:31913 CAPLUS
DOCUMENT NUMBER: 136:96024
TITLE: Novel anti-infectives
INVENTOR(S): Hardwicke, Mary Ann

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 437,683, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002004198	A1	20020110	US 2001-793231		20010226
US 2001007877	A1	20010712	US 1999-437683		19991110
PRIORITY APPLN. INFO.:			US 1998-112424P	P	19981216
			US 1998-112463P	P	19981216
			US 1998-112482P	P	19981216
			US 1998-112493P	P	19981216
			US 1998-112500P	P	19981216
			US 1999-140043P	P	19990618
			US 1999-437683	B2	19991110
			US 1998-112494P	P	19981216

OTHER SOURCE(S): MARPAT 136:96024
GI

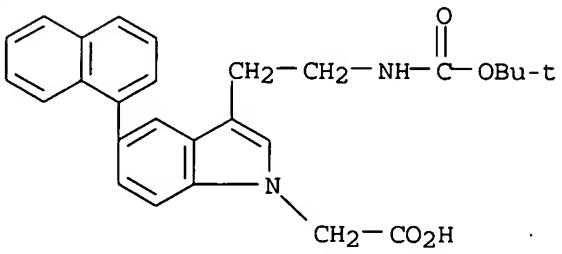


AB Novel anti-infectives and methods of using them are provided. Substituted indoles [I; R1 = aryl; R2 = alkyleneNHR (wherein R = H, C(NH)NH2); X = SO2R (R = alkyl, aryl)] which are useful in inhibiting a virus such as a herpesvirus, a betaherpesvirus, and a cytomegalovirus, were prepared and formulated. Also disclosed is a method to identify a compound that inhibits the interaction of a herpesvirus major capsid protein and a herpesvirus scaffolding protein or protease.

IT 339282-80-3P, 1H-Indole-1-acetic acid, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-5-(1-naphthalenyl)-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indoles antiviral agents and methods to identify compds. that inhibit interaction of herpesvirus major capsid protein and scaffolding protein or protease)

RN 339282-80-3 CAPLUS

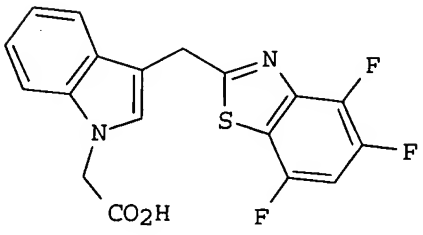
CN 1H-Indole-1-acetic acid, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:661246 CAPLUS
DOCUMENT NUMBER: 135:210937
TITLE: Preparation of indole-1-alkanotaes as aldose reductase inhibitors and compositions for treatment of diabetic complications
INVENTOR(S): Sredy, Janet; Van Zandt, Michael
PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, Llc, USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064205	A2	20010907	WO 2001-US6429	20010228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001041722	A1	20011115	US 2001-795161	20010228
US 6521659	B2	20030218		
US 2003171405	A1	20030911	US 2003-369986	20030218
PRIORITY APPLN. INFO.:			US 2000-186511P	P 20000302
			US 2000-195725P	P 20000407
			US 2001-795161	A1 20010228

OTHER SOURCE(S): MARPAT 135:210937
GI



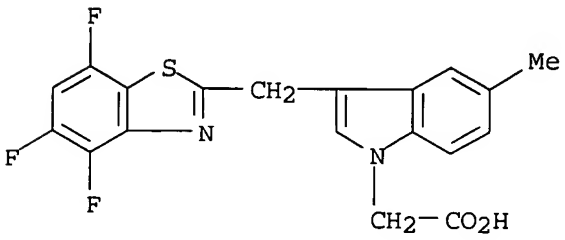
II

AB Title compns. comprise title alkanoates and ACE inhibitors. RZCHRaZ1Z2COR6 [I; R = (un)substituted Ph, -heterocyclyl, -fused heteroaryl, etc.; Ra = H, F, CF3, alkyl; R6 = OH or a prodrug group (sic); Z = bond, O, S, CONH, alkylene; Z1 = (un)substituted indole-3,1-diyl; Z2 = (halo)alkylene] were prepared Thus, Et 3-cyanomethylindole-1-acetate was cyclocondensed with 2-amino-3,4,6-trifluorothiophenol hydrochloride and the product saponified to give alkanoate II. Data for biol. activity of I were given.

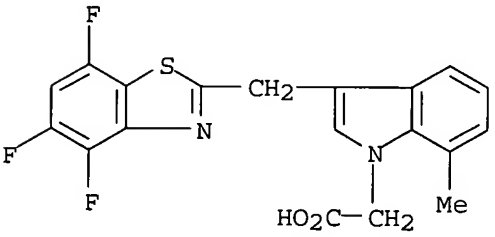
IT 245116-93-2P 245116-94-3P 245116-99-8P
245117-01-5P 245117-05-9P 245117-06-0P
245117-07-1P 245117-08-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole-1-alkanotaes as aldose reductase inhibitors and compns. for treatment of diabetic complications)

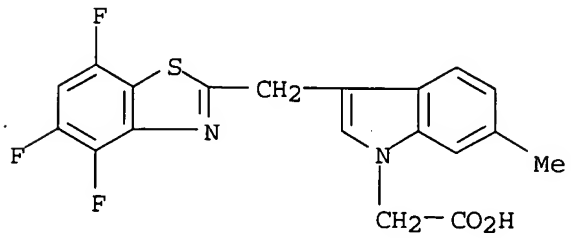
RN 245116-93-2 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



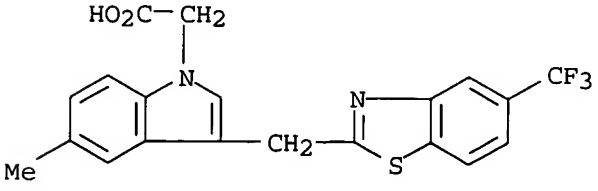
RN 245116-94-3 CAPLUS
CN 1H-Indole-1-acetic acid, 7-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



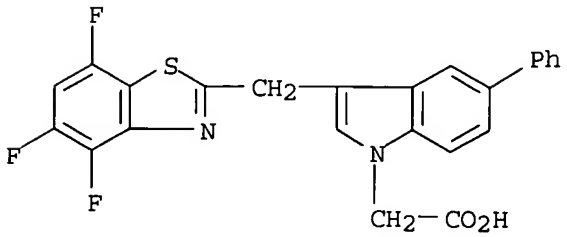
RN 245116-99-8 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



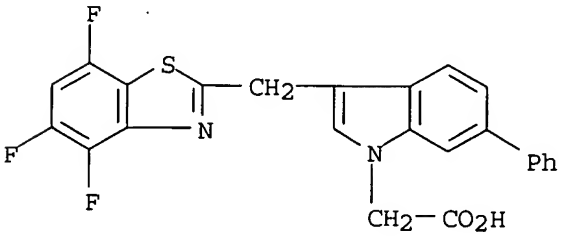
RN 245117-01-5 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methyl-3-[[5-(trifluoromethyl)-2-benzothiazolyl]methyl]- (9CI) (CA INDEX NAME)



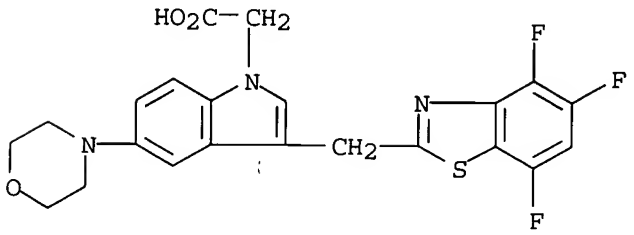
RN 245117-05-9 CAPLUS
CN 1H-Indole-1-acetic acid, 5-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



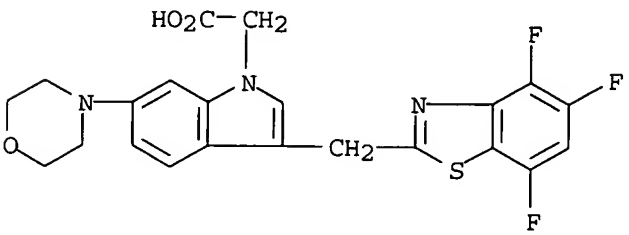
RN 245117-06-0 CAPLUS
CN 1H-Indole-1-acetic acid, 6-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-07-1 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-08-2 CAPLUS
CN 1H-Indole-1-acetic acid, 6-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)

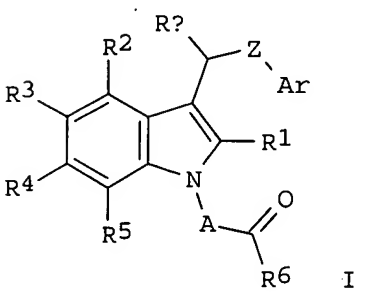


L3 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:526077 CAPLUS

DOCUMENT NUMBER: 135:107250
TITLE: Preparation of substituted indolealkanoic acids for lowering serum uric acid levels
INVENTOR(S): Robinson, Dale; Boyd, Marcelle
PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, Inc., USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051489	A2	20010719	WO 2001-US1004	20010111
WO 2001051489	A3	20011227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001044437	A1	20011122	US 2001-758763	20010111
PRIORITY APPLN. INFO.:			US 2000-176273P P	20000114

OTHER SOURCE(S): MARPAT 135:107250
GI

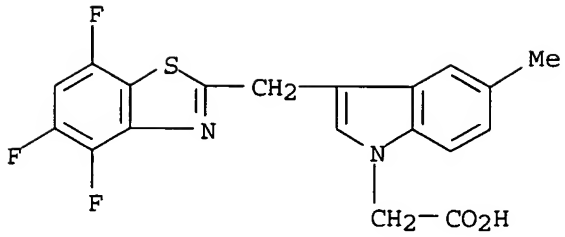


I

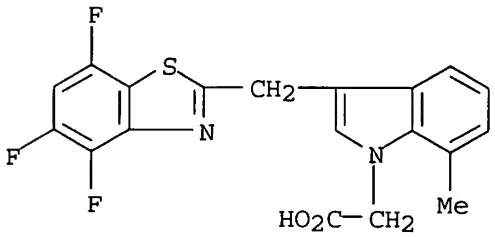
AB The title compds. [I; A = alkylene optionally substituted with alkyl or halo; Z = a bond, O, S, etc.; R1 = H, alkyl, halo, etc.; R2-R5 = H, halo, NO2, etc.; R6 = OH, prodrug group; Ra = H, alkyl, F, CF3; Ar = (un)substituted Ph, 5-6 membered heterocyclyl, etc.], useful in the treatment of gout and related diseases, were prepared E.g., a multi-step synthesis of I [R1-R5 = H; A = CH2; R6 = OH; Ra = H; Z = a bond; Ar = 4,5,7-trifluorobenzothiazol-2-yl] which showed the uric acid lowering activity in humans, was given.

IT 245116-93-2P 245116-94-3P 245116-99-8P
245117-01-5P 245117-05-9P 245117-06-0P
245117-07-1P 245117-08-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted indolealkanoic acids for lowering serum uric acid levels)

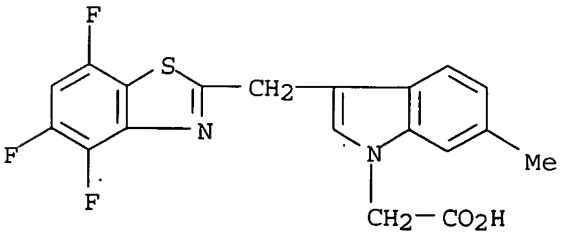
RN 245116-93-2 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



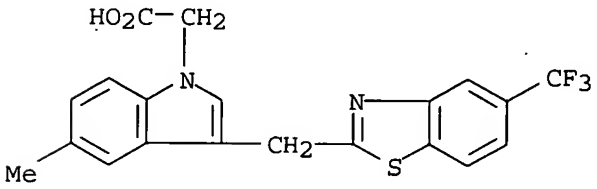
RN 245116-94-3 CAPLUS
CN 1H-Indole-1-acetic acid, 7-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



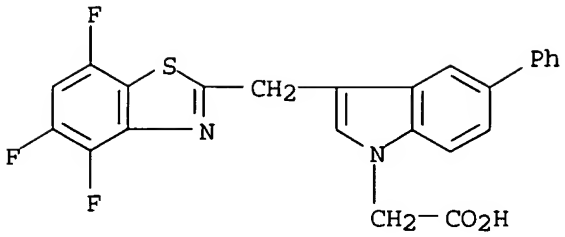
RN 245116-99-8 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



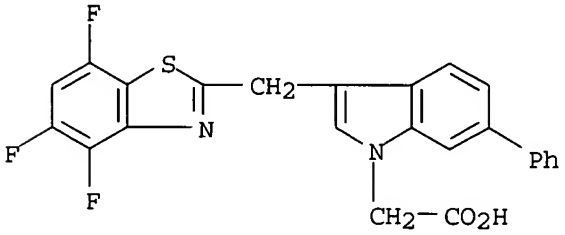
RN 245117-01-5 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methyl-3-[[5-(trifluoromethyl)-2-benzothiazolyl]methyl]- (9CI) (CA INDEX NAME)



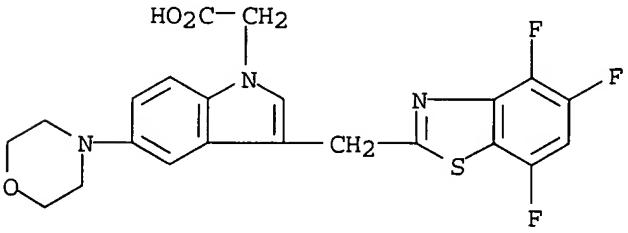
RN 245117-05-9 CAPLUS
CN 1H-Indole-1-acetic acid, 5-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



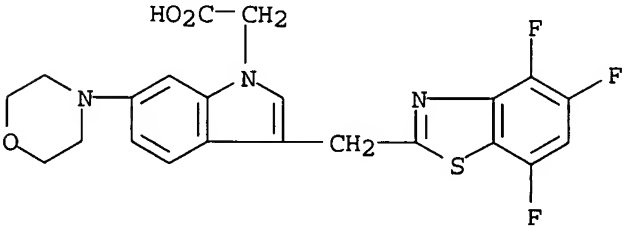
RN 245117-06-0 CAPLUS
CN 1H-Indole-1-acetic acid, 6-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-07-1 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-08-2 CAPLUS
CN 1H-Indole-1-acetic acid, 6-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)

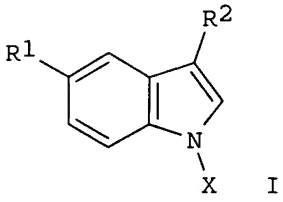


L3 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:508069 CAPLUS
DOCUMENT NUMBER: 135:92543
TITLE: Preparation of substituted indoles as novel anti-infectives
INVENTOR(S): Burton, George O.; Keenan, Richard M.; Knight, Steven D.; Ridgers, Lance H.

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2001007877	A1	20010712	US 1999-437683		19991110
US 2002004198	A1	20020110	US 2001-793231		20010226
PRIORITY APPLN. INFO.:			US 1998-112424P	P	19981216
			US 1998-112463P	P	19981216
			US 1998-112482P	P	19981216
			US 1998-112494P	P	19981216
			US 1998-112500P	P	19981216
			US 1999-140043P	P	19990618
			US 1998-112493P	P	19981216
			US 1999-437683	B2	19991110

OTHER SOURCE(S): MARPAT 135:92543
GI



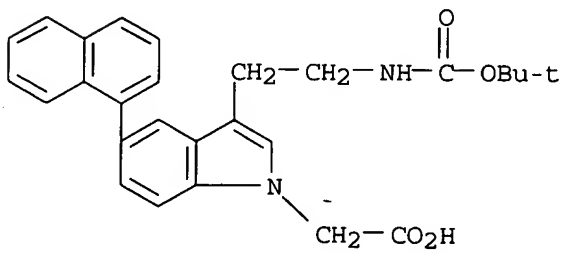
I

AB The title compds. [I; R1 = aryl; R2 = alkyleneNHR (wherein R = H, C(NH)NH2); X = SO2R (R = alkyl, aryl)] which are useful in inhibiting a virus such as a herpesvirus, a betaherpesvirus, and a cytomegalovirus, were prepared and formulated. E.g., a 3-step synthesis of I.HCl [R1 = 2-naphthyl; R2 = 2-aminoethyl; X = phenylsulfonyl] was given. The exemplified compds. I were tested in ELISA assay for detection of inhibitors of the interaction between the CMV MCP full-length protein and the interaction domain peptide of the scaffolding protein. They showed IC50 of 1-10 μM in this assay.

IT 339282-80-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indoles as novel anti-infectives)

RN 339282-80-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

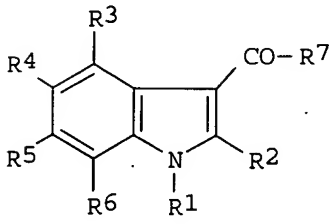


L3 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:452862 CAPLUS
DOCUMENT NUMBER: 135:46206
TITLE: Preparation of indole derivatives as nephritis remedies
INVENTOR(S): Taniguchi, Norihisa; Shirouchi, Yoshiaki
PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001043746	A1	20010621	WO 2000-JP8782	20001213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001018874	A5	20010625	AU 2001-18874	20001213
EP 1243268	A1	20020925	EP 2000-981658	20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
JP 1999-354022 A 19991214
WO 2000-JP8782 W 20001213

OTHER SOURCE(S): MARPAT 135:46206
GI

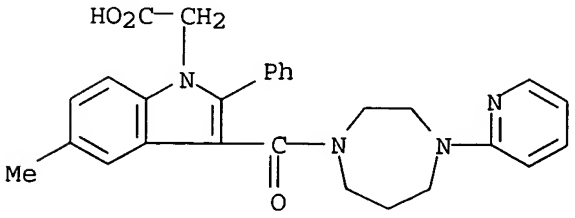


I

AB The title compds. I [R1 and R2 are the same or different and each represents hydrogen, optionally substituted alkyl, acyl, optionally substituted aryl, or an optionally substituted aromatic heterocyclic group; R3, R4, R5, and R6 are the same or different and each represents hydrogen, halogeno, hydroxy, optionally substituted amino, optionally substituted alkyl, alkoxy, nitro, etc. ; and R7 represents optionally substituted cyclic amino or optionally substituted azabicycloalkylamino] are prepared Oral administration of 1-(1,5-dimethyl-2-phenylindol-3-ylcarbonyl)-4-(2-pyridyl)piperazine hydrochloride at 10 mg/kg twice a day for 7 days was therapeutically effective in a nephritis rat model. Formulations are given.

IT 287113-75-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. as nephritis remedies)
RN 287113-75-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[[hexahydro-4-(2-pyridinyl)-1H-1,4-diazepin-1-yl]carbonyl]-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

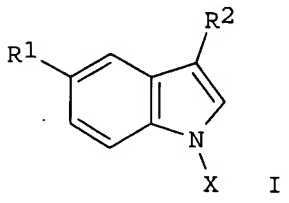
L3 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:359795 CAPLUS
DOCUMENT NUMBER: 134:353253
TITLE: Preparation of substituted indoles as novel anti-infectives
INVENTOR(S): Burton, George; Knight, Steven David; Ridgers, Lance Howard; Keenan, Richard Mcculloch
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034146	A1	20010517	WO 2000-US30705	20001108
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				

PRIORITY APPLN. INFO.:

US 1999-163962P	P	19991108
US 1999-163963P	P	19991108
US 1999-164243P	P	19991108
US 1999-164301P	P	19991108
US 1999-164302P	P	19991108
US 1999-164303P	P	19991108

OTHER SOURCE(S): MARPAT 134:353253
GI



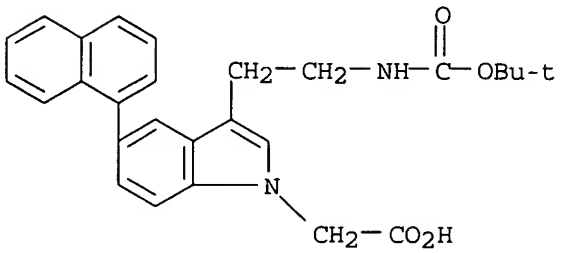
AB The title compds. [I; R1 = aryl; R2 = alkyleneNHR (wherein R = H, C(NH)NH2); X = SO2R (R = alkyl, aryl)] which are useful in inhibiting a virus such as a herpesvirus, a betaherpesvirus, and a cytomegalovirus, were prepared and formulated. E.g., a 3-step synthesis of I.HCl [R1 =

2-naphthyl; R2 = 2-aminoethyl; X = phenylsulfonyl] was given. The exemplified compds. I were tested in ELISA assay for detection of inhibitors of the interaction between the CMV MCP full-length protein and the interaction domain peptide of the scaffolding protein. They showed IC50 of 1-10 μM in this assay.

IT 339282-80-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indoles as novel anti-infectives)

RN 339282-80-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l3 12-21 ibib abs hitstr

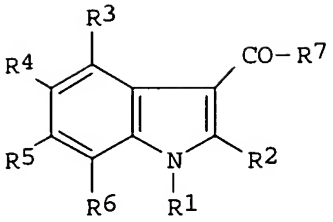
L3 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:535136 CAPLUS
DOCUMENT NUMBER: 133:150578
TITLE: Preparation of indolecarboxamide derivatives as TGF-β (transforming growth factor-β) production inhibitors or TGF-β antagonists
INVENTOR(S): Taniguchi, Norihisa; Shirouchi, Yoshiaki
PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044743	A1	20000803	WO 2000-JP396	20000127
W: AU, BR, CA, CN, HU, ID, IL, JP, KR, MX, NO, NZ, RU, UA, US, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1156045	A1	20011121	EP 2000-901915	20000127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1999-19204 A 19990128
WO 2000-JP396 W 20000127

OTHER SOURCE(S): MARPAT 133:150578
GI



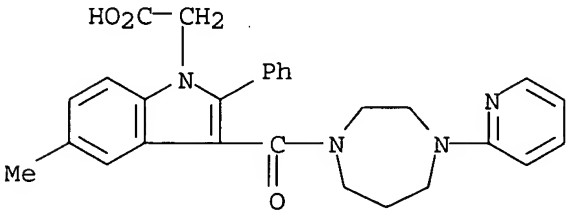
I

AB The title compds. I [R1 and R2 are each independently hydrogen, optionally substituted alkyl, acyl, optionally substituted aryl, or an optionally substituted aromatic heterocyclic group; R3, R4, R5 and R6 are each independently hydrogen, halogeno, hydroxyl, optionally substituted amino, optionally substituted alkyl, alkoxy, nitro, or the like; and R7 is optionally substituted cyclic amino or optionally substituted azabicycloalkylamino] are prepared In an in vitro test using lung epithelial cells, 1-(1,5-dimethyl-2-phenylindol-3-ylcarbonyl)-4-(2-pyridyl)piperazine hydrochloride at 1 μg/mL gave 31.2% TGF-β antagonism. Formulations are given.

IT 287113-75-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolecarboxamide derivs. as TGF-β production inhibitors or TGF-β antagonists)

RN 287113-75-1 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[[hexahydro-4-(2-pyridinyl)-1H-1,4-diazepin-1-yl]carbonyl]-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:383910 CAPLUS

DOCUMENT NUMBER: 133:26859

TITLE: Methods of reducing serum glucose and triglyceride levels and for inhibiting angiogenesis using substituted indole-alkanoic acids

INVENTOR(S): Sredy, Janet; Jacot, Jorge

PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, Inc., USA

SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032180	A2	20000608	WO 1999-US28483	19991201
WO 2000032180	A3	20001116		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2385845	AA	20000608	CA 1999-2385845	19991201
BR 9915882	A	20010821	BR 1999-15882	19991201
EP 1135124	A2	20010926	EP 1999-965955	19991201
EP 1135124	B1	20040428		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101539	T2	20011221	TR 2001-200101539	19991201
JP 2002531398	T2	20020924	JP 2000-584876	19991201
EE 200100296	A	20030217	EE 2001-296	19991201
US 6555568	B1	20030429	US 1999-452252	19991201
AU 770925	B2	20040311	AU 2000-21616	19991201
AT 265210	E	20040515	AT 1999-965955	19991201
TW 584560	B	20040421	TW 1999-88120912	20000201
ZA 2001004126	A	20020521	ZA 2001-4126	20010521
BG 105531	A	20011231	BG 2001-105531	20010522
NO 2001002690	A	20010727	NO 2001-2690	20010531
US 2003216452	A1	20031120	US 2003-397140	20030326
US 6964980	B2	20051115		
US 2006074114	A1	20060406	US 2005-274583	20051115

PRIORITY APPLN. INFO.:	US 1998-110395P	P	19981201
	US 1999-452252	A1	19991201
	WO 1999-US28483	W	19991201
	US 2003-397140	A3	20030326

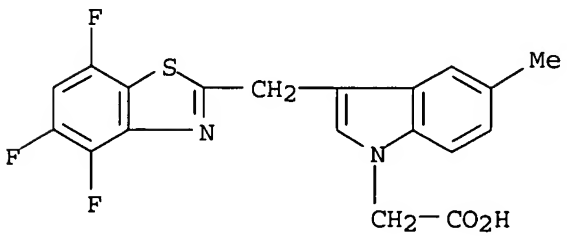
OTHER SOURCE(S): MARPAT 133:26859

AB Methods are disclosed for reducing serum glucose and triglyceride levels and for inhibiting angiogenesis, the methods comprising administration of substituted indole-alkanoic acids to patients in need of such treatment. Also disclosed are such compds. useful in the treatment of angiogenesis, hyperglycemia, hyperlipidemia and chronic complications arising from diabetes mellitus. Also disclosed are pharmaceutical compns. containing the compds. Preparation of the compds. of the invention is included.

IT 245116-93-2P 245116-94-3P 245116-99-8P
245117-01-5P 245117-05-9P 245117-06-0P
245117-07-1P 245117-08-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indole-alkanoic acid derivative preparation for reducing serum glucose and triglyceride levels and for inhibiting angiogenesis)

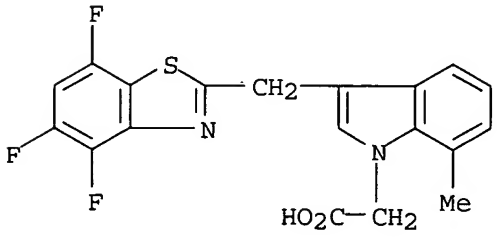
RN 245116-93-2 CAPLUS

CN 1H-Indole-1-acetic acid, 5-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)

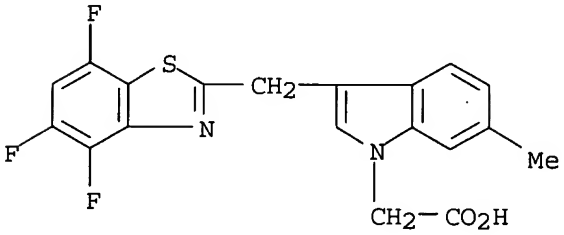


RN 245116-94-3 CAPLUS

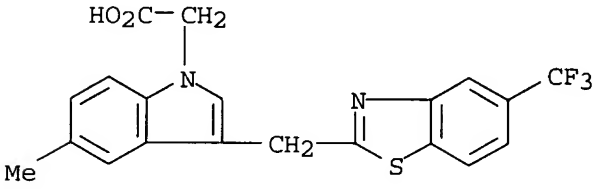
CN 1H-Indole-1-acetic acid, 7-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



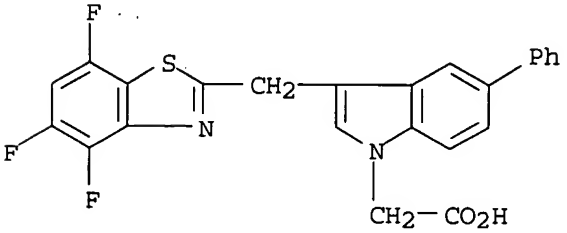
RN 245116-99-8 CAPLUS
CN 1H-Indole-1-acetic acid, 6-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



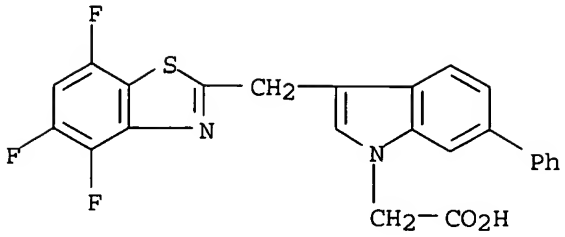
RN 245117-01-5 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methyl-3-[[5-(trifluoromethyl)-2-benzothiazolyl]methyl]- (9CI) (CA INDEX NAME)



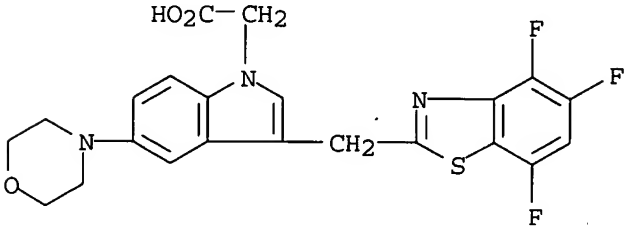
RN 245117-05-9 CAPLUS
CN 1H-Indole-1-acetic acid, 5-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



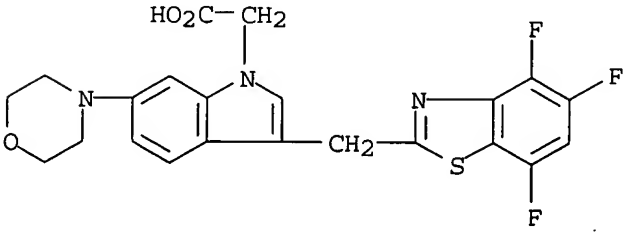
RN 245117-06-0 CAPLUS
CN 1H-Indole-1-acetic acid, 6-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-07-1 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-08-2 CAPLUS
CN 1H-Indole-1-acetic acid, 6-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:640858 CAPLUS
DOCUMENT NUMBER: 131:257442
TITLE: Substituted indolealkanoic acids for treatment of diabetic complications
INVENTOR(S): Jones, Michael L.; Gunn, David; Jones, John Howard; Van Zandt, Michael C.
PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950268	A2	19991007	WO 1999-US7116	19990331
WO 9950268	A3	19991216		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,

MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

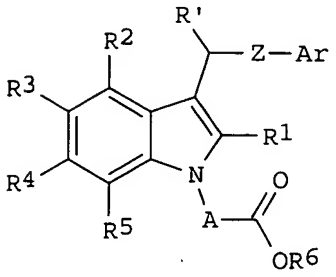
CA 2383983	AA	19991007	CA 1999-2383983	19990331
AU 9934595	A1	19991018	AU 1999-34595	19990331
AU 774929	B2	20040715		
BR 9909358	A	20001212	BR 1999-9358	19990331
TR 200002869	T2	20001221	TR 2000-200002869	19990331
EP 1066283	A2	20010110	EP 1999-916239	19990331
EP 1066283	B1	20040623		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6214991	B1	20010410	US 1999-282280	19990331
JP 2002509931	T2	20020402	JP 2000-541171	19990331
JP 3494990	B2	20040209		
EE 200000573	A	20020415	EE 2000-573	19990331
JP 2003155274	A2	20030527	JP 2002-290240	19990331
AT 269861	E	20040715	AT 1999-916239	19990331
ES 2224632	T3	20050301	ES 1999-916239	19990331
NO 2000004900	A	20001017	NO 2000-4900	20000929
BG 104819	A	20010531	BG 2000-104819	20000929
ZA 2000005577	A	20020211	ZA 2000-5577	20001011
US 2001016661	A1	20010823	US 2001-818808	20010327
US 6426344	B2	20020730		
US 2003018053	A1	20030123	US 2002-185863	20020628
US 6730794	B2	20040504		
US 2004235933	A1	20041125	US 2004-832724	20040427

PRIORITY APPLN. INFO.:

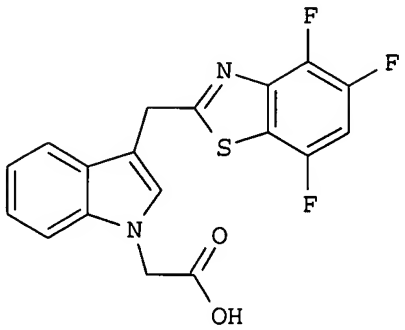
US 1998-80143P	A2	19980331
JP 2000-541171	A3	19990331
US 1999-282280	A1	19990331
WO 1999-US7116	W	19990331
US 2001-818808	A1	20010327
US 2002-185863	A1	20020628

OTHER SOURCE(S): MARPAT 131:257442

GI



I



II

AB Substituted indolealkanoic acids I, useful in the treatment of chronic complications arising from diabetes mellitus, are disclosed [wherein: A = C1-4 alkylene with optional halo or alkyl substitution; Z = bond, O, S, CONH, or C1-3 alkylene with optional alkyl substitution; R1 = H, alkyl, halo, or (un)substituted Ph or 4-pyridyl; R2-R5 = H, halo, NO2, (halo)alkyl, OH or SH or CONH2 or NH2 or their derivs., (un)substituted Ph or heteroaryl or PhO or others; R6 = H or prodrug group; R' = H, alkyl, F, CF3; Ar = (un)substituted Ph or various heterocycles]. Also disclosed are pharmaceutical compns. containing the compds. and methods of treatment employing the compds., as well as methods for their synthesis. Examples

include 34 syntheses and 2 bioassays of the prepared compds. For instance, cyclocondensation of 3-(cyanomethyl)indole-N-acetic acid Et ester with 2-amino-3,4,6-trifluorothiophenol HCl (prepns. given) in refluxing EtOH, followed by alkaline hydrolysis, gave title compound II. This compound potently inhibited aldose reductase in vitro with an IC50 of 5 nM, but inhibited aldehyde reductase (side effect) much less, with an IC50 of 27000 nM, thus showing a desirably high selectivity ratio of 5400. In comparison, the com. drug tolrestat gave values of 13 nM, 1940 nM, and ratio 149.

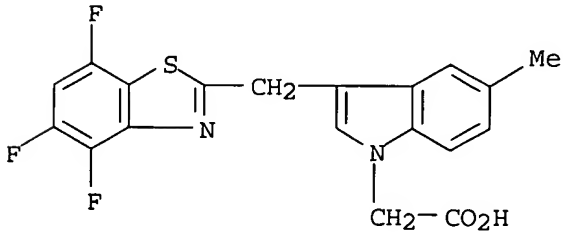
IT 245116-93-2P, 5-Methyl-3-[(4,5,7-trifluorobenzothiazol-2-yl)methyl]indole-N-acetic acid 245116-94-3P, 7-Methyl-3-[(4,5,7-trifluorobenzothiazol-2-yl)methyl]indole-N-acetic acid 245116-99-8P, 6-Methyl-3-[(4,5,7-trifluorobenzothiazol-2-yl)methyl]indole-N-acetic acid 245117-01-5P, 5-Methyl-3-[[5-(trifluoromethyl)benzothiazol-2-yl]methyl]indole-N-acetic acid 245117-05-9P, 5-Phenyl-3-[(4,5,7-trifluorobenzothiazol-2-yl)methyl]indole-N-acetic acid 245117-06-0P, 6-Phenyl-3-[(4,5,7-trifluorobenzothiazol-2-yl)methyl]indole-N-acetic acid 245117-07-1P, 5-Morpholino-3-[(4,5,7-trifluorobenzothiazol-2-yl)methyl]indole-N-acetic acid 245117-08-2P, 6-Morpholino-3-[(4,5,7-trifluorobenzothiazol-2-yl)methyl]indole-N-acetic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of substituted indolealkanoic acids as aldose reductase inhibitors for treatment of diabetic complications)

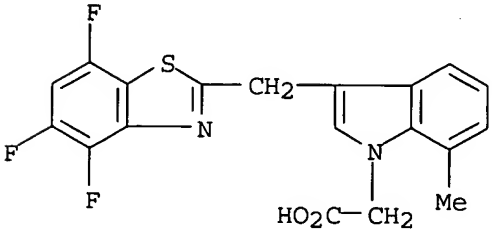
RN 245116-93-2 CAPLUS

CN 1H-Indole-1-acetic acid, 5-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



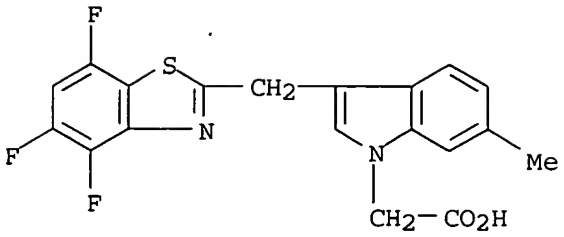
RN 245116-94-3 CAPLUS

CN 1H-Indole-1-acetic acid, 7-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)

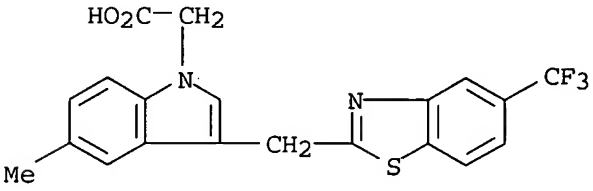


RN 245116-99-8 CAPLUS

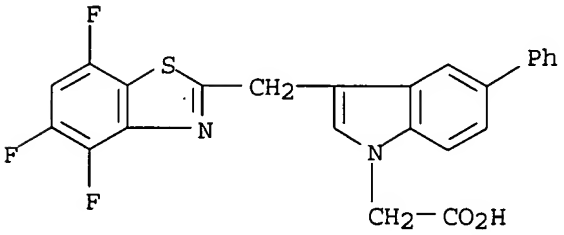
CN 1H-Indole-1-acetic acid, 6-methyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



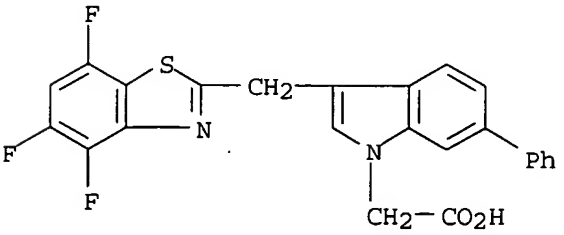
RN 245117-01-5 CAPLUS
CN 1H-Indole-1-acetic acid, 5-methyl-3-[[5-(trifluoromethyl)-2-benzothiazolyl]methyl]- (9CI) (CA INDEX NAME)



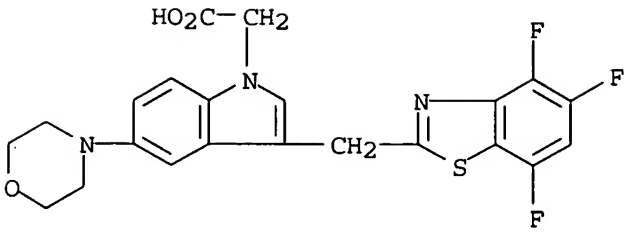
RN 245117-05-9 CAPLUS
CN 1H-Indole-1-acetic acid, 5-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



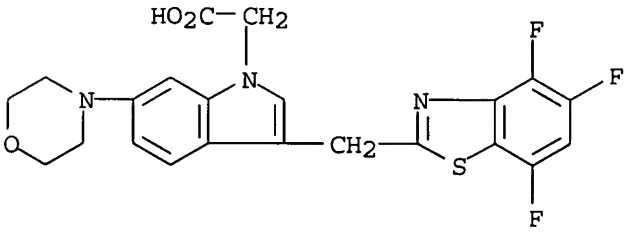
RN 245117-06-0 CAPLUS
CN 1H-Indole-1-acetic acid, 6-phenyl-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-07-1 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 245117-08-2 CAPLUS
CN 1H-Indole-1-acetic acid, 6-(4-morpholinyl)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)



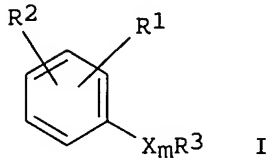
L3 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:260094 CAPLUS
DOCUMENT NUMBER: 126:293361
TITLE: Preparation of tetrazolylphenyl-substituted heterocycles and related compounds as angiotensin II antagonists
INVENTOR(S): Boyd, Donald B.; Lifer, Sherryl L.; Marshall, Winston S.; Palkowitz, Alan D.; Pfeifer, William; Reel, Jon K.; Simon, Richard L.; Steinberg, Mitchell I.; Thrasher, K. Jeff; Vasudevan, Venkatraghavan; Whitesitt, Celia A.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 892,854, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5612360	A	19970318	US 1993-49916	19930420
CA 2097460	AA	19931204	CA 1993-2097460	19930601
HU 64330	A2	19931228	HU 1993-1602	19930601
NO 9302004	A	19931206	NO 1993-2004	19930602
AU 9339986	A1	19931209	AU 1993-39986	19930602
AU 661396	B2	19950720		
EP 574174	A2	19931215	EP 1993-304266	19930602
EP 574174	A3	19940706		
EP 574174	B1	20030813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 247107	E	20030815	AT 1993-304266	19930602
PT 574174	T	20031231	PT 1993-304266	19930602
ES 2204898	T3	20040501	ES 1993-304266	19930602
JP 06080666	A2	19940322	JP 1993-133314	19930603
CN 1101908	A	19950426	CN 1993-108420	19930603

ES 2076085	B1	19970301	ES 1993-1321	19930615
ES 2076085	A1	19951016		
US 5556981	A	19960917	US 1995-453532	19950530
US 5693633	A	19971202	US 1995-453591	19950530
US 5569768	A	19961029	US 1995-455239	19950531
PRIORITY APPLN. INFO.:			US 1992-892854	B2 19920603
			US 1993-49916	A 19930420

OTHER SOURCE(S): MARPAT 126:293361

GI



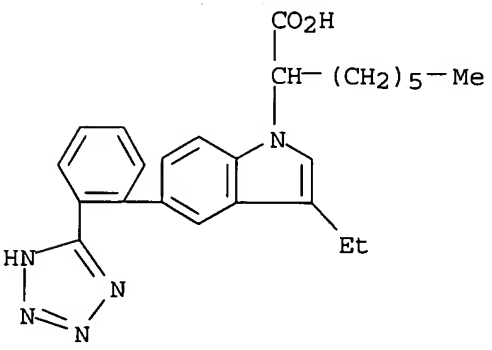
I

AB Preparation of heterocyclic derivs. I [R1 = CO2H, SO3H, PO3H2, CONHSO2R8 (R8 = (un)substituted Ph, alkyl, trifluoroalkyl), 5-tetrazolyl; R2 = H, OH, OAc, halo, alkyl, alkoxy; R3 = substituted heterocyclyl] and their use for antagonizing angiotensin II receptors in mammals are described. E.g., treating 5-(2-cyanophenyl)benzimidazole with NaH, followed by addition of Et 2-bromohexanoate gave an intermediate which was reacted with Bu3SnN3 to give 2-[5-[2-(2H-tetrazol-5-yl)phenyl]-1H-benzimidazol-1-yl]hexanoic acid. I are potent effective antagonists of angiotensin II.

IT 159748-11-5P 159748-12-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrazolylphenyl-substituted heterocycles and related compds. as angiotensin II antagonists)

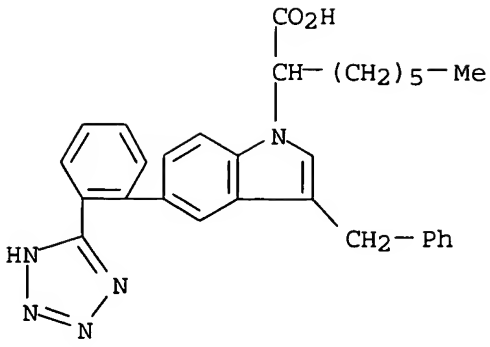
RN 159748-11-5 CAPLUS

CN 1H-Indole-1-acetic acid, 3-ethyl-α-hexyl-5-[2-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 159748-12-6 CAPLUS

CN 1H-Indole-1-acetic acid, α-hexyl-3-(phenylmethyl)-5-[2-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



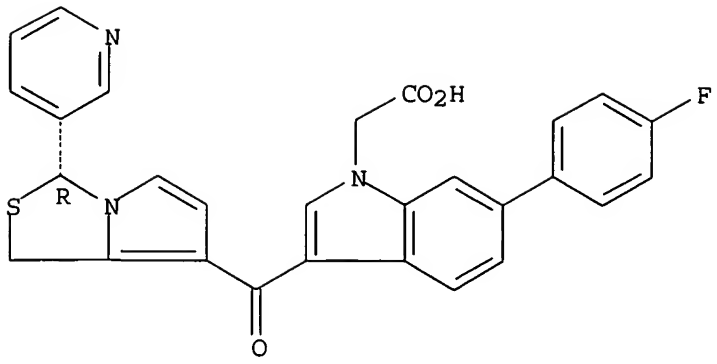
L3 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:1002157 CAPLUS
DOCUMENT NUMBER: 124:175907
TITLE: Synthesis and evaluation of water soluble indole pyrrolothiazole PAF antagonists
AUTHOR(S): Sheppard, George S.; Davidsen, Steven K.; Carrera, George M., Jr.; Pireh, Daily; Holms, James H.; Heyman, H. Robin; Steinman, Douglas H.; Curtin, Michael L.; Conway, Richard G.; et al.
CORPORATE SOURCE: Immunosci. Res. Area, Dep. 47J, Abbott Laboratories, Abbott Park, IL, 60064, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(23), 2913-18
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 3-(3-Pyridinyl)-7-(indol-3-ylcarbonyl)-1H,3H-pyrrolo[1,2-c]thiazoles represent a class of potent, orally active platelet activating factor (PAF) antagonists; however, the lead compds. in this series suffered from a lack of aqueous solubility To overcome this limitation, a number of strategies were examined to achieve improved solubility, involving the incorporation of polar substituents and the use of prodrugs.

IT 174003-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of water soluble indolylcarbonylpyrrolothiazoles with platelet activating factor antagonist activity)

RN 174003-05-5 CAPLUS
CN 1H-Indole-1-acetic acid, 6-(4-fluorophenyl)-3-[[3-(3-pyridinyl)-1H,3H-pyrrolo[1,2-c]thiazol-7-yl]carbonyl]-, (R)- (9CI) (CA INDEX NAME)

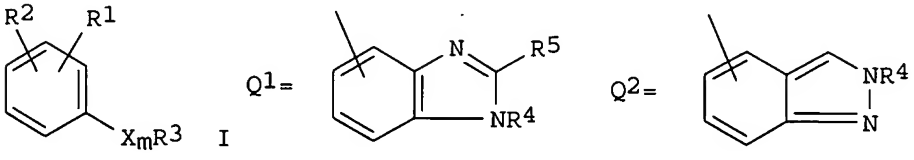
Absolute stereochemistry.



L3 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:252332 CAPLUS
DOCUMENT NUMBER: 122:290852
TITLE: Preparation of arylindoles, -benzimidazoles, and -indazoles as angiotensin II antagonists
INVENTOR(S): Boyd, Donald Bradford; Lifer, Sherryl Lynn; Marshall, Winston Stanley; Palkowitz, Alan David; Pfeifer, William; Reel, Jon Kevin; Simon, Richard Lee; Steinberg, Mitchell Irvin; Thrasher, Kenneth Jeff; et al.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 574174	A2	19931215	EP 1993-304266	19930602
EP 574174	A3	19940706		
EP 574174	B1	20030813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5612360	A	19970318	US 1993-49916	19930420
PRIORITY APPLN. INFO.:			US 1992-892854 A	19920603
			US 1993-49916 A	19930420

OTHER SOURCE(S): MARPAT 122:290852
GI

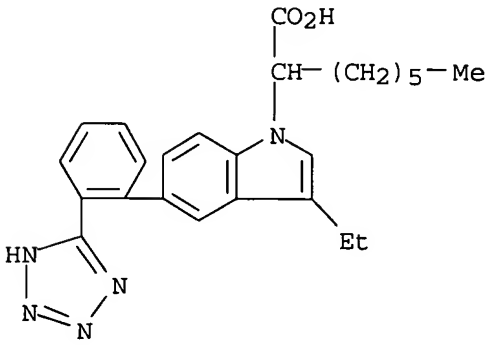


AB [Title compds. I; R1 = CO2H, SO3H, CONHSO2R8, 5-tetrazolyl; R2 = H, OH, OCOMe, halo, alkyl, alkoxy; R3 = Q1, Q2, etc.; X = (CH2)mCONH, (CH2)mNHCO, CH2, O, NH, (CH2)mCO; m = 0,1; R4 = CHR6R7, alkyl, trifluoroalkyl; R5 = H, alkyl, trifluoroalkyl, perfluoroalkyl, PhCH2, dialkylaminoalkyl, etc.; R6 = alkylaminocarbonyl, alkoxycarbonyl, hydroxyalkylaminocarbonyl, substituted imidazolyl, tetrazolyl, etc.; R7 = alkyl, trifluoroalkyl, alkenyl, trifluoroalkenyl], were prepared Thus, L-proline benzyl ester

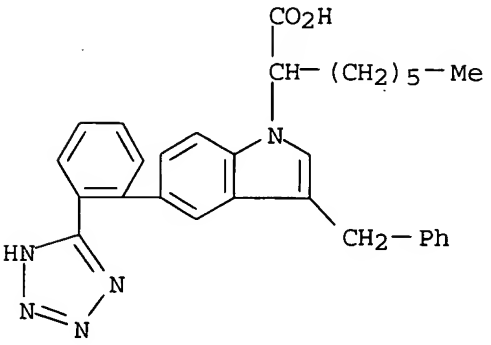
hydrochloride, diisopropylethyamine, hydroxybenzotriazole, 2-[5-[2-(2H-tetrazol-5-yl)phenyl]benzimidazol-1-yl]octanoic acid (preparation given), and DCC were stirred in DMF 12 days to give coupling product which was stirred in MeOH/2N NaOH to give 1-[1-oxo-2-[5-[2-(2H-tetrazol-5-yl)phenyl]-1H-benzimidazol-1-yl]octyl]-L-proline. I inhibited angiotensin II-induced contraction of rabbit aortal rings with pA2 = 5.3-9.1. Several I drug formulations are given.

IT 159748-11-5P 159748-12-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)

RN 159748-11-5 CAPLUS
CN 1H-Indole-1-acetic acid, 3-ethyl-α-hexyl-5-[2-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

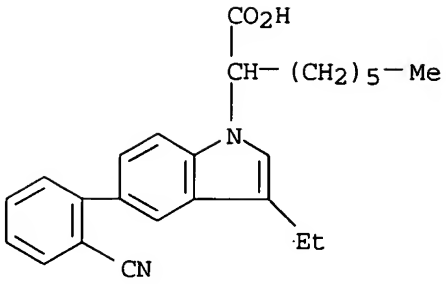


RN 159748-12-6 CAPLUS
CN 1H-Indole-1-acetic acid, α-hexyl-3-(phenylmethyl)-5-[2-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



IT 159748-99-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as intermediate for angiotensin II antagonist)

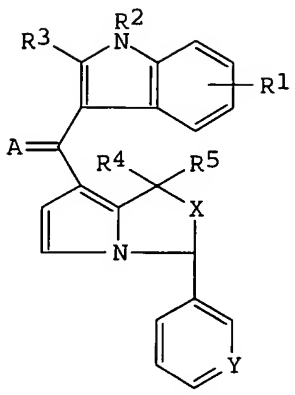
RN 159748-99-9 CAPLUS
CN 1H-Indole-1-acetic acid, 5-(2-cyanophenyl)-3-ethyl-α-hexyl- (9CI) (CA INDEX NAME)



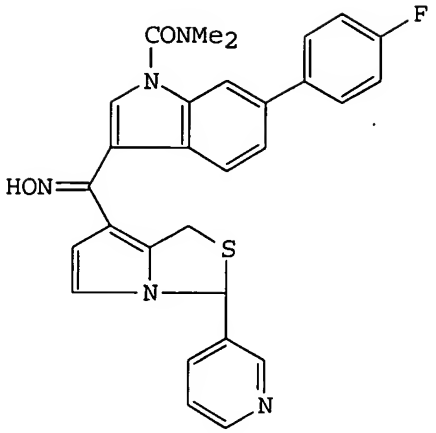
L3 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:449384 CAPLUS
DOCUMENT NUMBER: 119:49384
TITLE: Preparation of 7-(indol-3-yl carbonyl)pyrrolo[1,2-c]thiazoles and related compounds as platelet activating factor antagonists
INVENTOR(S): Summers, James B.; Davidsen, Steven K.; Holms, James H.; Pireh, Daisy; Heyman, H. Robin; Martin, Michael B.; Steinman, Douglas H.; Sheppard, George S.; Carrera, George M., Jr.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9301813	A1	19930204	WO 1992-US5890	19920714
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2112562	AA	19930204	CA 1992-2112562	19920714
AU 9223391	A1	19930223	AU 1992-23391	19920714
AU 651243	B2	19940714		
EP 595924	A1	19940511	EP 1992-915895	19920714
EP 595924	B1	19990414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
AT 178796	E	19990415	AT 1992-915895	19920714
ES 2131530	T3	19990801	ES 1992-915895	19920714
JP 3135917	B2	20010219	JP 1993-502913	19920714
US 5459152	A	19951017	US 1993-162034	19931202
PRIORITY APPLN. INFO.:			US 1991-731681 A2	19910717
			WO 1992-US5890 A	19920714

OTHER SOURCE(S): MARPAT 119:49384
GI



I



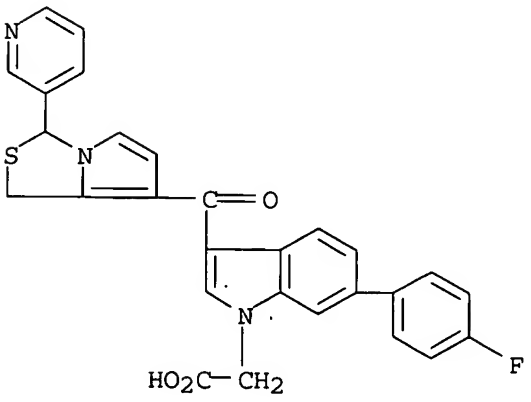
II

AB Title compds. [I; R1 = H, halo, furyl, thienyl, thiazolyl, pyridyl, pyrimidyl, alkyl, alkoxy, alkanoyl, (substituted) Ph, PhCO, PhO, phenylalkoxy phenylalkanoyl; R2 = H, alkyl, hydroxy(alkyl), carboxy(alkyl), amino(alkyl), acyl(alkyl), sulfonyl(alkyl), sulfamoyl(alkyl), carbamoyl(alkyl); R3-R5 = H, alkyl; X = S, SO, SO2, O, CH2; Y = N, N+R12, N+O-, N+OR12, N+NR7R8, N+NHCOR9, etc.; A = O, NOR10, NOCOR10, NNR7R8; R7-R9 = H, alkyl; R7R8 = heterocyclyl; R10 = H, alkyl, carboxyalkyl, aminoalkyl, hydroxylalkyl, sulfonylalkyl, sulfamoylalkyl, cyanoalkyl, tetrazolylalkyl, CONHNH2, (substituted) phenylalkyl; R12 = alkyl], were prepared Thus, 3-(pyridin-3-yl)-7-[1-(N,N-dimethyl(carbamoyl)-6-(4-fluorophenyl)indol-3-ylcarbonyl]-1H,3H-pyrrolo[1,2-c]thiazole (preparation given) was heated with NH2OH.HCl in pyrine/EtOH at 110° to give title compound II. II inhibited platelet activating factor with Ki = 0.3 nM.

IT 147621-03-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as platelet activating factor antagonist)

RN 147621-03-2 CAPLUS

CN 1H-Indole-1-acetic acid, 6-(4-fluorophenyl)-3-[[3-(3-pyridinyl)-1H,3H-pyrrolo[1,2-c]thiazol-7-yl]carbonyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:400230 CAPLUS

DOCUMENT NUMBER: 95:230

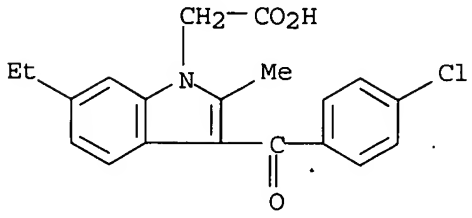
TITLE: Autocorrelation of molecular structures. Application

to SAR studies
AUTHOR(S): Moreau, Gilles; Broto, Pierre
CORPORATE SOURCE: Dep. Phys., Roussel Uclaf, Romainville, 93230, Fr.
SOURCE: Nouveau Journal de Chimie (1980), 4(12), 757-64
CODEN: NJCHD4; ISSN: 0398-9836
DOCUMENT TYPE: Journal
LANGUAGE: English

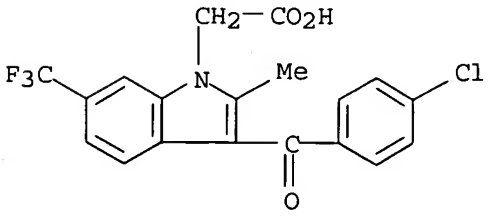
AB A new mol. descriptor, the autocorrelation of topol. structure, is used in a structure-activity relation to predict analgesic activity of 309 glafenine derivs. and isoindomethacine analogs. Using learning machine techniques the prediction of analgesic activity is shown to be in agreement with exptl. observed activity.

IT 35556-28-6 57329-71-2 57329-87-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(analgesic activity of, autocorrelation of topol. structure in relation to)

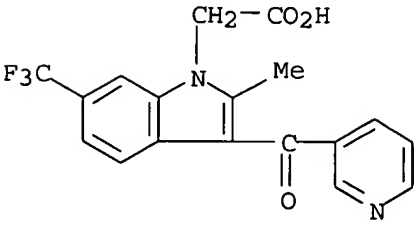
RN 35556-28-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-ethyl-2-methyl- (9CI) (CA INDEX NAME)



RN 57329-71-2 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

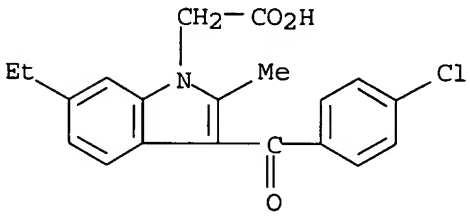


RN 57329-87-0 CAPLUS
CN 1H-Indole-1-acetic acid, 2-methyl-3-(3-pyridinylcarbonyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

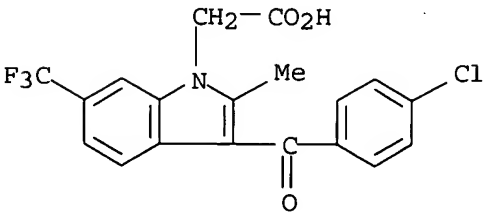


L3 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

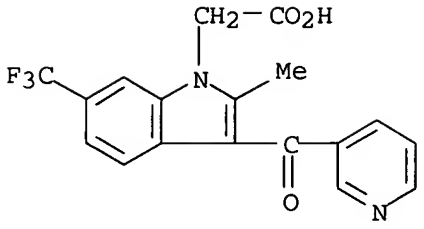
ACCESSION NUMBER: 1975:531402 CAPLUS
DOCUMENT NUMBER: 83:131402
TITLE: Nonnarcotic analgetic and antiinflammatory agents. 1-Carboxyalkyl-3-acylindoles
AUTHOR(S): Allais, Andre; Meier, Jean; Mathieu, Jean; Nomine, Gerard; Peterfalvi, Michel; Deraedt, Roger; Chifflot, Louise; Benzoni, Josette; Fournex, Robert
CORPORATE SOURCE: Cent. Rech., Roussel-Uclaf, Romainville, Fr.
SOURCE: European Journal of Medicinal Chemistry (1975), 10(2), 187-99
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB Analgesic and antiinflammatory indoleacetic acids I (R = Ph, substituted phenyl, Me, cyclohexyl, CH:CHPh, CH:CHC6H4Cl-4, 2-furyl, 3-pyridyl, 4-pyridyl; R1 = H, 5-alkoxy, 6-alkoxy, 6-SMe, 5-halo, 6-halo, 6-SO2Me, 6-NO2, 6-NH2) (47 compds.) as well as some amides and other derivs. were prepared, e.g. by hydrolyzing the esters, prepared by treating 3-acylindoles with haloacetate. I (R = 4-ClC6H4, R1 = 6-OMe) had an analgesic ED50 of 5 mg/kg orally in mice and an antiinflammatory ED40 of 35 mg/kg orally in rats.
IT 35556-28-6P 57329-71-2P 57329-87-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiinflammatory and analgesic activity of)
RN 35556-28-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-ethyl-2-methyl- (9CI) (CA INDEX NAME)



RN 57329-71-2 CAPLUS
CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 57329-87-0 CAPLUS
CN 1H-Indole-1-acetic acid, 2-methyl-3-(3-pyridinylcarbonyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:72399 CAPLUS
DOCUMENT NUMBER: 76:72399
TITLE: Antiinflammatory substituted indoles
PATENT ASSIGNEE(S): Roussel-UCLAF
SOURCE: Fr. Demande, 13 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2054450	A6	19710423	FR 1969-22497	19690703
FR 2054450	B2	19730608		
FR 7337	M	19691013	FR 1968-135641	19680111
ES 362342	A1	19701201	ES 1969-362342	19690110
BR 6905491	A0	19730208	BR 1969-205491	19690110
ES 365834	A1	19710316	ES 1969-365834	19690409
ES 374371	A2	19720101	ES 1969-374371	19691209
GB 1321433	A	19730627	GB 1970-32199	19700702

PRIORITY APPLN. INFO.:

FR 1968-135641	A	19680111
FR 1968-147662	A	19680410
FR 1968-165689	A	19680910
FR 1968-177431	A	19681210
FR 1969-22497	A	19690703
FR 1969-31578	A	19690917

AB 1-(Carboxymethyl)-2-methyl-3-(p-chlorobenzoyl)-6-ethylindole (I) has antiinflammatory and analgesic properties with practically no ulcerative side-effect. I was prepared from 2-methyl-6-ethylindole, which was treated with p-ClC6%h4CONMe2 and POCl3 to give 2-methyl-3-(p-chlorobenzoyl)-6-ethylindole (II). Treatment of II with NaH, followed by ClCH2CO2Me, gave 1-methoxycarbonyl-methyl-2-methyl-3-(p-chlorobenzoyl)-6-ethylindole, which was hydrolyzed to I.

IT 35556-28-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 35556-28-6 CAPLUS

CN 1H-Indole-1-acetic acid, 3-(4-chlorobenzoyl)-6-ethyl-2-methyl- (9CI) (CA INDEX NAME)